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* * * * * * * * * * * * * * * Welcome to STN International * * * * * * * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 JAN 27 Source of Registration (SR) information in REGISTRY updated and searchable
NEWS 4 JAN 27 A new search aid, the Company Name Thesaurus, available in CA/CAplus
NEWS 5 FEB 05 German (DE) application and patent publication number format changes
NEWS 6 MAR 03 MEDLINE and LMEDLINE reloaded
NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 8 MAR 03 FRANCEPAT now available on STN
NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN
NEWS 10 MAR 29 WPIFV now available on STN
NEWS 11 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS 12 APR 26 PROMT: New display field available
NEWS 13 APR 26 IFIPAT/IFIUDB/IFICDB: New super search and display field available
NEWS 14 APR 26 LITALERT now available on STN
NEWS 15 APR 27 NLDB: New search and display fields available
NEWS 16 May 10 PROUSDDR now available on STN
NEWS 17 May 19 PROUSDDR: One FREE connect hour, per account, in both May and June 2004
NEWS 18 May 12 EXTEND option available in structure searching
NEWS 19 May 12 Polymer links for the POLYLINK command completed in REGISTRY
NEWS 20 May 17 FRFULL now available on STN
NEWS 21 May 27 STN User Update to be held June 7 and June 8 at the SLA 2004 Conference
NEWS 22 May 27 New UPM (Update Code Maximum) field for more efficient patent SDIs in CAplus
NEWS 23 May 27 CAplus super roles and document types searchable in REGISTRY
NEWS 24 May 27 Explore APOLLIT with free connect time in June 2004

NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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11

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n) :

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

| COST IN U.S. DOLLARS | SINCE FILE
ENTRY | TOTAL
SESSION |
|----------------------|---------------------|------------------|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 14:51:06 ON 01 JUN 2004
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STRUCTURE FILE UPDATES: 31 MAY 2004 HIGHEST RN 688001-12-9
DICTIONARY FILE UPDATES: 31 MAY 2004 HIGHEST RN 688001-12-9

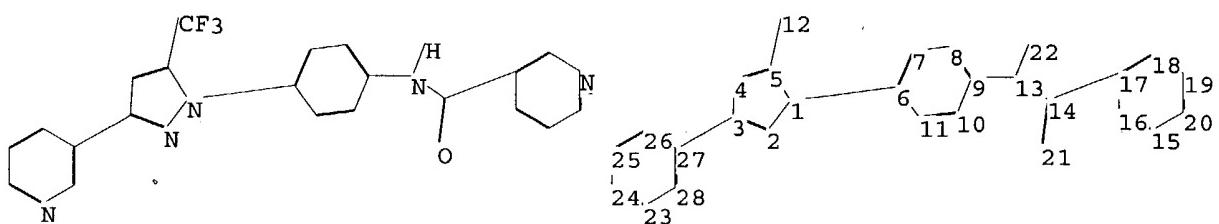
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10670668.str



chain nodes :

12 13 14 21 22

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 15 16 17 18 19 20 23 24 25 26 27 28

chain bonds :

1-6 3-27 5-12 9-13 13-14 13-22 14-17 14-21

ring bonds :

1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 15-16 15-20 16-17

17-18 18-19 19-20 23-24 23-28 24-25 25-26 26-27 27-28

exact/norm bonds :

1-2 1-5 1-6 2-3 9-13 13-14 14-21

exact bonds :

3-4 3-27 4-5 5-12 13-22 14-17

normalized bonds :

6-7 6-11 7-8 8-9 9-10 10-11 15-16 15-20 16-17 17-18 18-19 19-20 23-24

23-28 24-25 25-26 26-27 27-28

isolated ring systems :

containing 1 : 6 : 15 : 23 :

Match level :

```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:CLASS 22:CLASS 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom

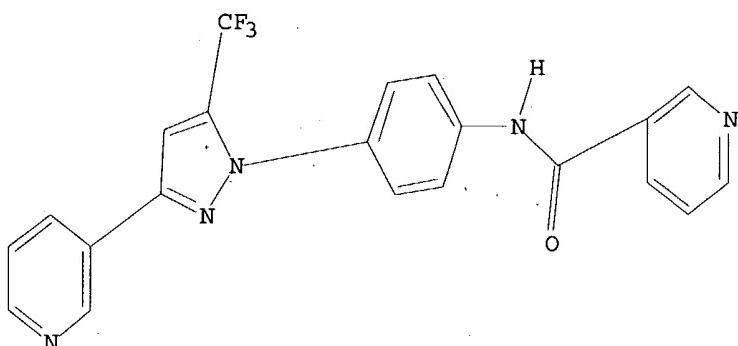
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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11
 SAMPLE SEARCH INITIATED 14:51:23 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS 1 ANSWERS
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 5 TO 234
 PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s 11 sss full
 FULL SEARCH INITIATED 14:51:30 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 49 TO ITERATE

100.0% PROCESSED 49 ITERATIONS 11 ANSWERS
 SEARCH TIME: 00.00.01

L3 11 SEA SSS FUL L1

| | | | |
|----------------------|------------|---------|--|
| => FIL CAPLUS | | | |
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL | |
| FULL ESTIMATED COST | ENTRY | SESSION | |
| | 155.42 | 155.63 | |

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FILE COVERS 1907 - 1 Jun 2004 VOL 140 ISS 23
FILE LAST UPDATED: 31 May 2004 (20040531/ED)

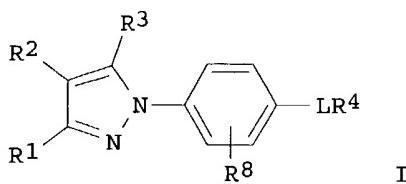
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13
L4 2 L3

=> d 14 ibib abs hitstr tot

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:22869 CAPLUS
DOCUMENT NUMBER: 138:89806
TITLE: Preparation of arylpyrazoles as soluble epoxide hydrolase inhibitors for treatment of cardiovascular disease
INVENTOR(S): Ingraham, Richard H.; Proudfoot, John R.
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|------------------|-----------------|-------------|
| WO 2003002555 | A1 | 20030109 | WO 2002-US18752 | 20020614 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| US 2003022929 | A1 | 20030130 | US 2002-172457 | 20020614 |
| EP 1406892 | A1 | 20040414 | EP 2002-739870 | 20020614 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |
| US 2004092567 | A1 | 20040513 | US 2003-670668 | 20030925 |
| PRIORITY APPLN. INFO.: | | | US 2001-302066P | P 20010629 |
| | | | US 2002-172457 | A1 20020614 |
| | | | WO 2002-US18752 | W 20020614 |
| OTHER SOURCE(S): GI | | MARPAT 138:89806 | | |



AB A method of treating cardiovascular disease comprises administration of title compds. [I; R1, R3 = CF₃, halo, cyano, alkyl, alkenyl, alkynyl, (substituted) cycloalkyl, heterocyclyl, etc.; R2 = H, halo, Me; L = NHCO, NHCS, NH, NHCH₂, NHCOOC, etc.; R4 = (substituted) alkyl, alkoxy, alkylthio, alkylamino, alkoxyalkyl, alkylthioalkyl, carbocyclyl, heterocyclyl, etc.; R8 = H, NH₂] (no data). Thus, 2-chloronicotinic acid in MeCN was treated with EDC and then with 1-(4-aminophenyl)-3-(3-pyridyl)-5-trifluoromethylpyrazole under ice cooling followed by stirring for 1 h to give I (R1 = 3-pyridyl; R2, R8 = H; R3 = CF₃; L = NHCO; R4 = 2-chloropyridin-3-yl).

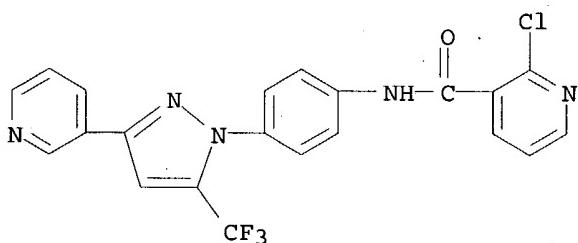
IT 251656-41-4P 251656-54-9P 251656-61-8P
251656-70-9P 251656-71-0P 483342-21-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylpyrazoles as soluble epoxide hydrolase inhibitors for treatment of cardiovascular disease)

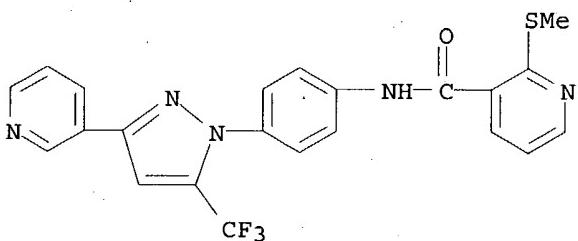
RN 251656-41-4 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



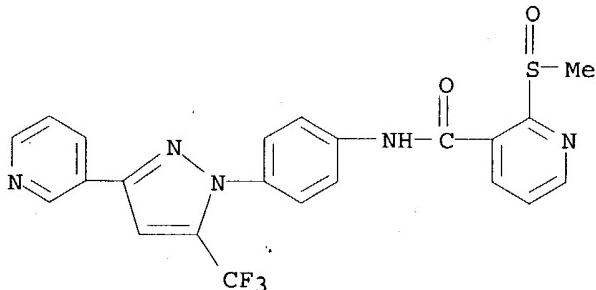
RN 251656-54-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-(methylthio)-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



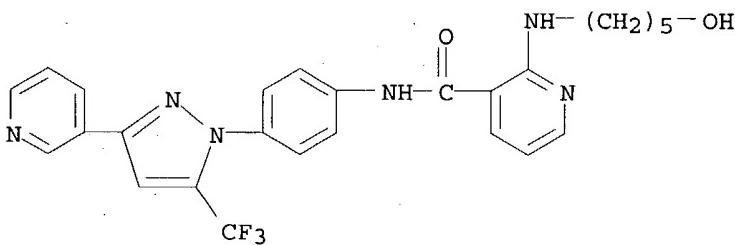
RN 251656-61-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-(methylsulfinyl)-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



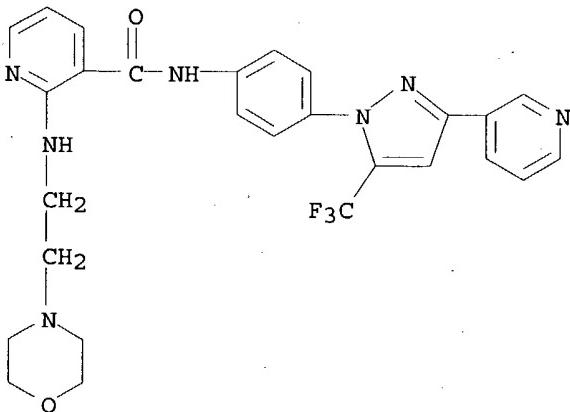
RN 251656-70-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(5-hydroxypentyl)amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



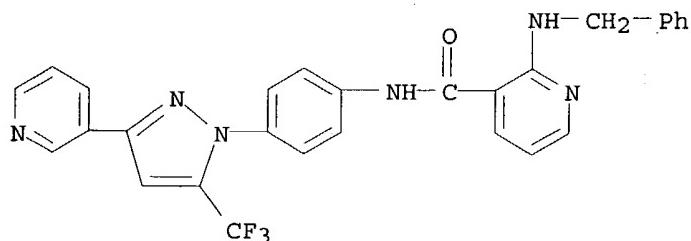
RN 251656-71-0 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(2-(4-morpholinyl)ethyl)amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 483342-21-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(phenylmethyl)amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:784082 CAPLUS

DOCUMENT NUMBER: 132:22963

TITLE: Preparation of N-(pyrazolylphenyl)alkanamides and analogs as IL-2 production inhibitors

INVENTOR(S): Betageri, Rajashekhar; Cywin, Charles L.; Hargrave, Karl; Hoermann, Mary Ann; Kirrane, Thomas M.; Parks, Thomas M.; Patel, Usha R.; Proudfoot, John R.; Sharma, Rajiv; Sun, Sanxing; Wang, Xiao-Jian

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 130 pp.

DOCUMENT TYPE: CODEN: PIXXD2

LANGUAGE: Patent
English

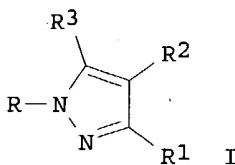
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 9962885 | A1 | 19991209 | WO 1999-US12295 | 19990603 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW | | | | |
| CA 2332957 | AA | 19991209 | CA 1999-2332957 | 19990603 |
| AU 9942299 | A1 | 19991220 | AU 1999-42299 | 19990603 |
| JP 2002516909 | T2 | 20020611 | JP 2000-552097 | 19990603 |
| US 6506747 | B1 | 20030114 | US 1999-324933 | 19990603 |
| PRIORITY-APPLN. INFO.: | | | US 1998-88154P | P 19980605 |
| | | | WO 1999-US12295 | W 19990603 |

OTHER SOURCE(S): MARPAT 132:22963

GI



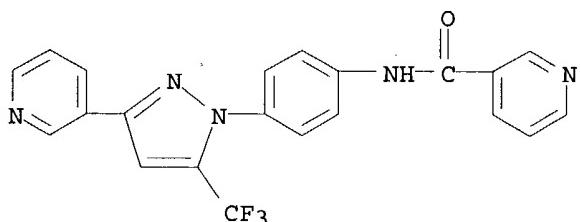
AB Title compds. [I; R = R₄Z₁Z; R₁,R₃ = halo, CF₃, alkyl, alkoxy, etc.; R₂ = H, halo, Me; R₄ = (cyclo)alkyl, alkoxy, alkylamino, etc.; Z = 1,4-phenylene; Z₁ = CONH, CO₂NH, NH, etc.] were prepared. Thus, I [R = 4-(R₅H)C₆H₄, R₁ = R₃ = CF₃, R₂ = H] (II; R₅ = H) was amidated by cyclohexanecarboxylic acid to give II (R₅ = cyclohexylcarbonyl). Data for biol. activity of I were given.

IT 251656-33-4P 251656-39-0P 251656-41-4P
 251656-54-9P 251656-61-8P 251656-65-2P
 251656-67-4P 251656-68-5P 251656-70-9P
 251656-71-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 1-(4-aminophenyl)pyrazoles and their use as anti-inflammatory agents)

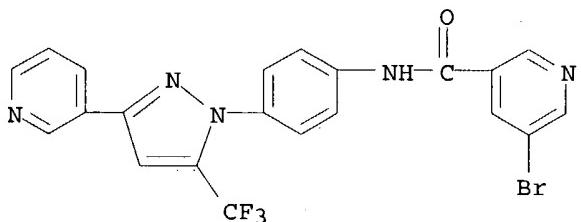
RN 251656-33-4 CAPPLUS

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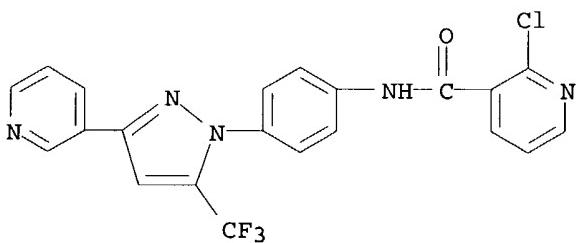
RN 251656-39-0 CAPPLUS

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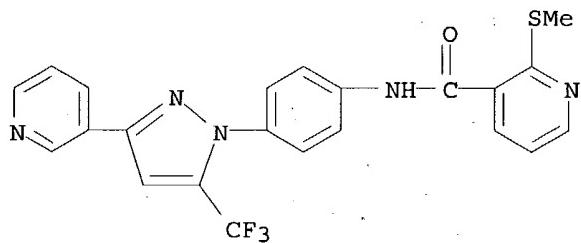
RN 251656-41-4 CAPPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



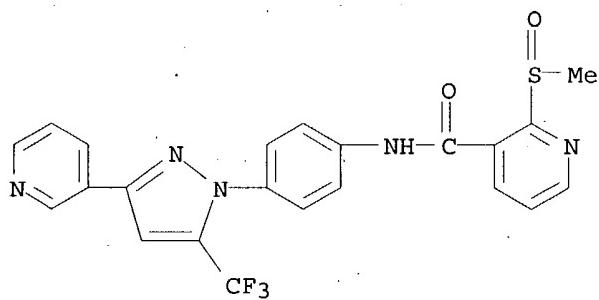
RN 251656-54-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-(methylthio)-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



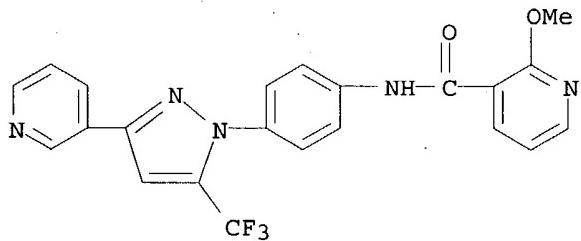
RN 251656-61-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-(methylsulfinyl)-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



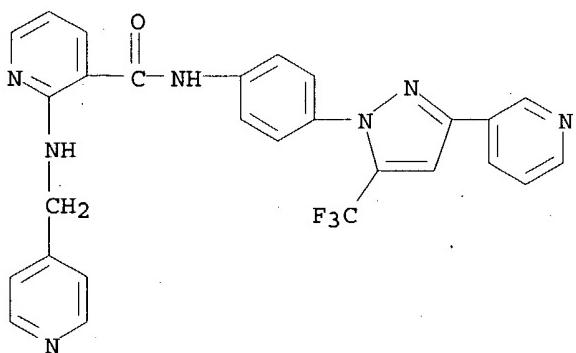
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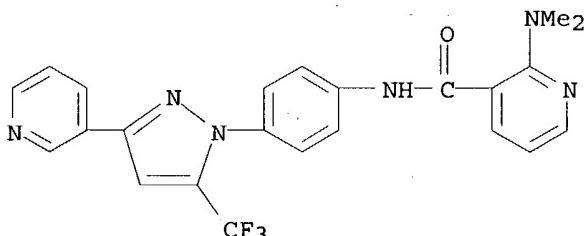
RN 251656-67-4 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(4-pyridinylmethyl)amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



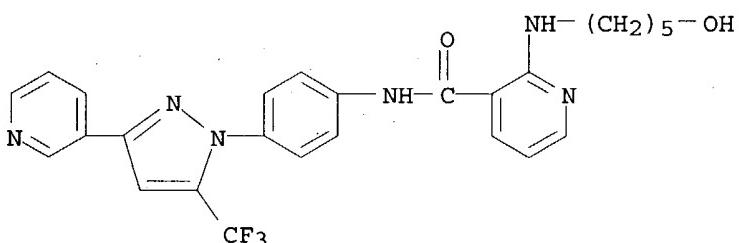
RN 251656-68-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(dimethylamino)-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



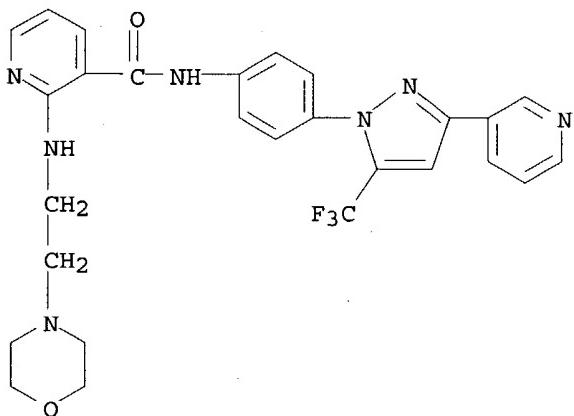
RN 251656-70-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(5-hydroxypentyl)amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 251656-71-0 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[2-(4-morpholinyl)ethyl]amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| => FIL REGISTRY
COST IN U.S. DOLLARS | SINCE FILE
ENTRY | TOTAL
SESSION | |
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| FULL ESTIMATED COST | 17.40 | 173.03 | |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | | SINCE FILE
ENTRY | TOTAL
SESSION |
| CA SUBSCRIBER PRICE | -1.39 | -1.39 | |

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DICTIONARY FILE UPDATES: 31 MAY 2004 HIGHEST RN 688001-12-9

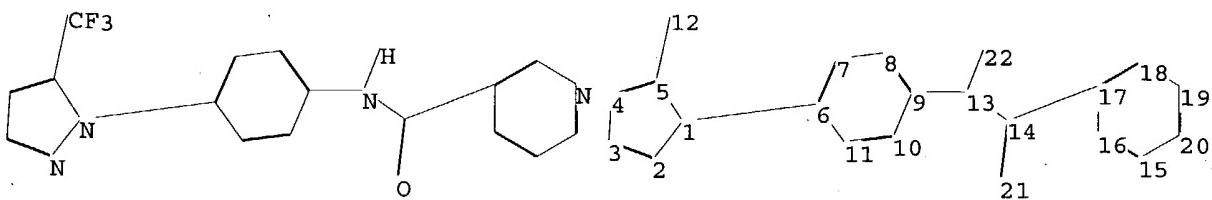
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> Uploading C:\Program Files\Stnexp\Queries\10670668a.str



chain nodes :

12 13 14 21 22

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 15 16 17 18 19 20

chain bonds :

1-6 5-12 9-13 13-14 13-22 14-17 14-21

ring bonds :

1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 15-16 15-20 16-17

17-18 18-19 19-20

exact/norm bonds :

1-2 1-5 1-6 2-3 9-13 13-14 14-21

exact bonds :

3-4 4-5 5-12 13-22 14-17

normalized bonds :

6-7 6-11 7-8 8-9 9-10 10-11 15-16 15-20 16-17 17-18 18-19 19-20

isolated ring systems :

containing 1 : 6 : 15 :

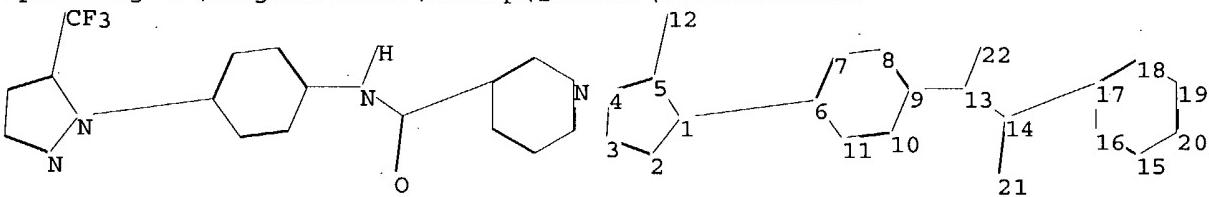
Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 11:Atom 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
 20:Atom 21:CLASS 22:CLASS

L5 STRUCTURE UPLOADED

=>

Uploading C:\Program Files\Stnexp\Queries\10670668a.str



chain nodes :

12 13 14 21 22

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 15 16 17 18 19 20

chain bonds :

1-6 5-12 9-13 13-14 13-22 14-17 14-21

ring bonds :

1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 15-16 15-20 16-17

17-18 18-19 19-20

exact/norm bonds :

10670668

Page 14 15:08 <golam shameem>

06/01/2004

1-2 1-5 1-6 2-3 9-13 13-14 14-21

exact bonds :

3-4 4-5 5-12 13-22 14-17

normalized bonds :

6-7 6-11 7-8 8-9 9-10 10-11 15-16 15-20 16-17 17-18 18-19 19-20

isolated ring systems :

containing 1 : 6 : 15 :

Match level :

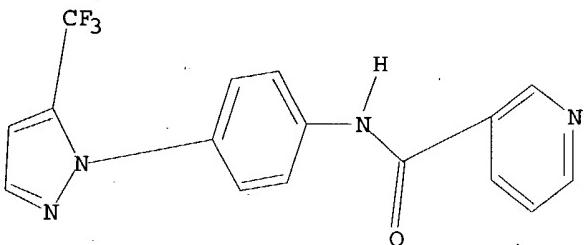
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:CLASS 22:CLASS

L6 STRUCTURE UPLOADED

=> d 16

L6 HAS NO ANSWERS

L6 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 16

SAMPLE SEARCH INITIATED 15:02:58 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 47 TO ITERATE

100.0% PROCESSED 47 ITERATIONS

8 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 529 TO 1351

PROJECTED ANSWERS: 8 TO 329

L7 8 SEA SSS SAM L6

=> s 16 sss full

FULL SEARCH INITIATED 15:03:06 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 807 TO ITERATE

100.0% PROCESSED 807 ITERATIONS

76 ANSWERS

SEARCH TIME: 00.00.01

L8 76 SEA SSS FUL L6

10670668

| => FIL CAPLUS
COST IN U.S. DOLLARS | SINCE FILE
ENTRY | TOTAL
SESSION |
|--|---------------------|------------------|
| FULL ESTIMATED COST | 155.42 | 328.45 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE
ENTRY | TOTAL
SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -1.39 |

FILE 'CAPLUS' ENTERED AT 15:03:10 ON 01 JUN 2004
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FILE COVERS 1907 - 1 Jun 2004 VOL 140 ISS 23
 FILE LAST UPDATED: 31 May 2004 (20040531/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 16
REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...
 Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 15:03:14 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 47 TO ITERATE

100.0% PROCESSED 47 ITERATIONS 8 ANSWERS
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 529 TO 1351
 PROJECTED ANSWERS: 8 TO 329

L9 8 SEA SSS SAM L6

L10 4 L9

=> d his

10670668

(FILE 'HOME' ENTERED AT 14:50:54 ON 01 JUN 2004)

FILE 'REGISTRY' ENTERED AT 14:51:06 ON 01 JUN 2004

L1 STRUCTURE UPLOADED
 L2 1 S L1
 L3 11 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 14:51:35 ON 01 JUN 2004

L4 2 S L3

FILE 'REGISTRY' ENTERED AT 15:02:19 ON 01 JUN 2004

L5 STRUCTURE UPLOADED
 L6 STRUCTURE UPLOADED
 L7 8 S L6
 L8 76 S L6 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:03:10 ON 01 JUN 2004

S L6

FILE 'REGISTRY' ENTERED AT 15:03:14 ON 01 JUN 2004

L9 8 S L6

FILE 'CAPLUS' ENTERED AT 15:03:14 ON 01 JUN 2004

L10 4 S L9

=> s l8 sss full
 L11 7 L8

| | | | |
|--|------------|---------|--|
| => FIL REGISTRY | | | |
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL | |
| FULL ESTIMATED COST | ENTRY | SESSION | |
| | 1.31 | 330.62 | |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL | |
| CA SUBSCRIBER PRICE | ENTRY | SESSION | |
| | 0.00 | -1.39 | |

FILE 'REGISTRY' ENTERED AT 15:04:48 ON 01 JUN 2004

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Property values tagged with IC are from the ZIC/VINITI data file
 provided by InfoChem.

STRUCTURE FILE UPDATES: 31 MAY 2004 HIGHEST RN 688001-12-9
 DICTIONARY FILE UPDATES: 31 MAY 2004 HIGHEST RN 688001-12-9

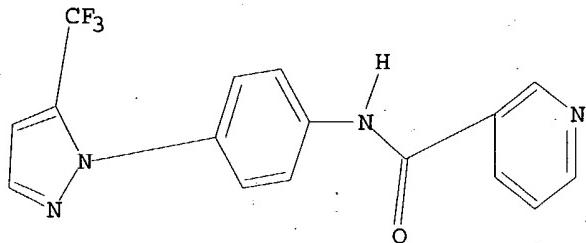
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when
 conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

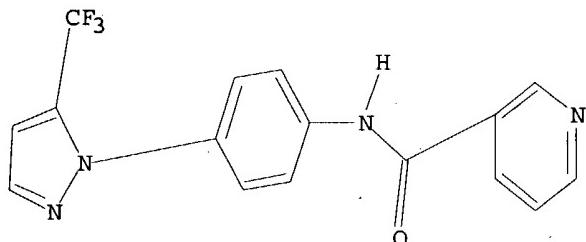
Experimental and calculated property data are now available. For more
 information enter HELP PROP at an arrow prompt in the file or refer
 to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d 15
 L5 HAS NO ANSWERS
 L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> d 16
 L6 HAS NO ANSWERS
 L6 STR



Structure attributes must be viewed using STN Express query preparation.

| | | | |
|--|------------|---------|--|
| => FIL CAPLUS | | | |
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL | |
| FULL ESTIMATED COST | ENTRY | SESSION | |
| | 0.42 | 331.04 | |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL | |
| CA SUBSCRIBER PRICE | ENTRY | SESSION | |
| | 0.00 | -1.39 | |

FILE 'CAPLUS' ENTERED AT 15:05:22 ON 01 JUN 2004
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of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 1 Jun 2004 VOL 140 ISS 23
FILE LAST UPDATED: 31 May 2004 (20040531/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 14:50:54 ON 01 JUN 2004)

FILE 'REGISTRY' ENTERED AT 14:51:06 ON 01 JUN 2004

L1 STRUCTURE UPLOADED
L2 1 S L1
L3 11 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 14:51:35 ON 01 JUN 2004

L4 2 S L3

FILE 'REGISTRY' ENTERED AT 15:02:19 ON 01 JUN 2004

L5 STRUCTURE UPLOADED
L6 STRUCTURE UPLOADED
L7 8 S L6
L8 76 S L6 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:03:10 ON 01 JUN 2004

S L6

FILE 'REGISTRY' ENTERED AT 15:03:14 ON 01 JUN 2004

L9 8 S L6

FILE 'CAPLUS' ENTERED AT 15:03:14 ON 01 JUN 2004

L10 4 S L9
L11 7 S L8 SSS FULL

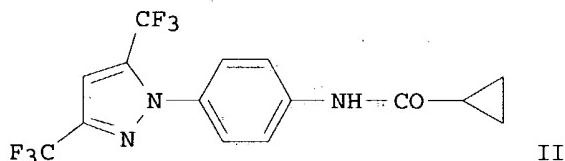
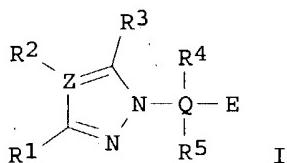
FILE 'REGISTRY' ENTERED AT 15:04:48 ON 01 JUN 2004

FILE 'CAPLUS' ENTERED AT 15:05:22 ON 01 JUN 2004

=> d l10 ibib abs hitstr tot

L10 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:851793 CAPLUS
DOCUMENT NUMBER: 136:5986
TITLE: Preparation of azole inhibitors of cytokine production
INVENTOR(S): Bamaung, Nwe Y.; Basha, Anwer; Djuric, Stevan W.;
Gubbins, Earl J.; Luly, Jay R.; Tu, Noah P.; Madar,
David J.; Warrior, Usha; Wiedeman, Paul E.; Zhou, Xun;
Sciotti, Richard J.; Wagenaar, Frank L.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 124 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|-----------------|-----------------|----------|
| US 2001044445 | A1 | 20011122 | US 1999-289155 | 19990408 |
| PRIORITY APPLN. INFO.: | | | US 1999-289155 | 19990408 |
| OTHER SOURCE(S): | | MARPAT 136:5986 | | |
| GI | | | | |



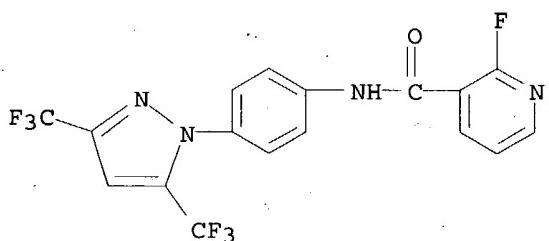
AB The title compds. [I; R₁, R₃ = H, aryl, perfluoroalkyl, etc.; Z = N, C; R₂ is absent or = H, alkyl, cycloalkyl, etc.; Q = (hetero)aryl (when Q = Ph, the Ph is 2-, 3-, or 4-substituted by E relative to the position of attachment of the pyrazole or 1,2,4-triazole ring to the Ph ring); R₄, R₅ = H, alkyl, haloalkyl, etc.; E = NO₂, NH₂, etc.], useful for inhibiting cytokine (Interleukin-2, Interleukin-4, or Interleukin-5) production and cellular proliferation in stimulated human T cell lines or human peripheral blood mononuclear cells (biol. data given) and therefore have utility in the treatment of diseases that are prevented by or ameliorated with cytokine inhibitors, were prepared General procedures for preparation of compds. I were described. Thus, the title compound II was prepared

IT 245746-11-6P 245746-99-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azole inhibitors of cytokine production)

RN 245746-11-6 CAPLUS

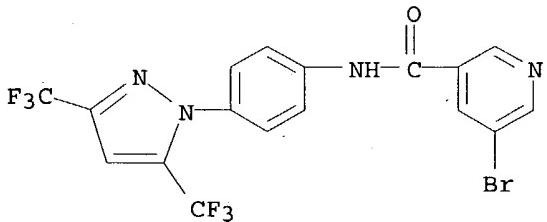
CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-fluoro- (9CI) (CA INDEX NAME)



RN 245746-99-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-

yl]phenyl]-5-bromo- (9CI) (CA INDEX NAME)



L10 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:658115 CAPLUS

DOCUMENT NUMBER: 133:238010

TITLE: Preparation of pyrazole derivatives as blockers of calcium release-activated calcium channel (CRACC)

INVENTOR(S): Kubota, Koichi; Yoshimura, Noriko; Okamoto, Yoshinori; Yonetoku, Yasuhiro; Naito, Makoto; Ishikawa, Atsushi; Takeuchi, Makoto

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

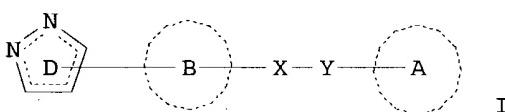
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|-------------------|-----------------|----------|
| JP 2000256358 | A2 | 20000919 | JP 1999-62900 | 19990310 |
| PRIORITY APPLN. INFO.: | | | JP 1999-62900 | 19990310 |
| OTHER SOURCE(S): | | MARPAT 133:238010 | | |

GI



AB The title compds. (I; ring D = pyrazolyl optionally substituted with 1-3 substituents selected from lower alkyl, alkenyl, alkynyl, or haloalkyl, lower alkylene-cycloalkyl, lower alkylene-O-lower alkyl, cycloalkyl, O-lower alkyl, CO₂H, lower alkoxy carbonyl, and halo; ring B = phenylene or optionally lower-substituted bivalent monocyclic aromatic heterocyclic ring; X = NR₁CO, CONR₁, NR₁SO₂, SO₂NR₁; wherein R₁ = H, OH, lower alkyl, O-lower alkyl, lower alkyl-carbonyl; Y = bond, CO, lower alkylene, or lower alkenylene; ring A = Ph having at least one substituent selected from HO, O-lower alkyl, and F, or optionally substituent mono-, bi-, or tricyclic condensed heteroaryl; provided that when Y is a bond, ring A represents a group other than heteroaryl selected from thienyl, pyrrolyl, imidazolyl, thiazolyl, oxazolyl, thiadiazolyl, pyridyl, pyrazinyl, and isoquinolyl) and pharmaceutically acceptable salts thereof are prepared. These compds. exhibit the inhibitory activity against CRACC and the production of interleukin-2 and are useful for the prevention or treatment of allergies,

inflammations, and autoimmune diseases. Thus, 2,1,3-benzoxadiazole-5-carbonyl chloride and Et₃N were successively added to a mixture of 4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline and CH₂Cl₂ and stirred at room temperature for 8.5 h to give N-[(2,1,3-benzoxadiazol-5-yl)carbonyl]-4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline. Preferred compds. I inhibited thapsigargin-stimulated increase in calcium concentration with IC₅₀

of

$\leq 1 \mu\text{M}$ and the production of interleukin-2 with IC₅₀ of $\leq 0.1 \mu\text{M}$ in Jurkat cell.

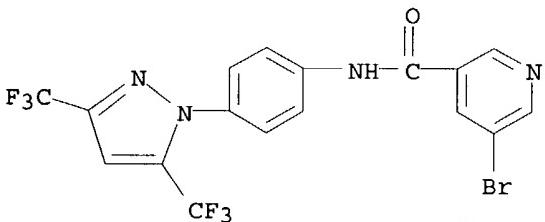
IT 245746-99-0P 292610-08-3P 292610-93-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazole derivs. as blockers of calcium release-activated calcium channel and inhibitors of interleukin-2 production)

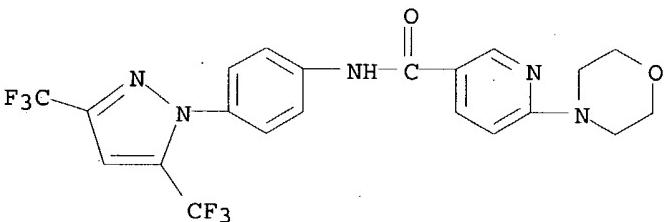
RN 245746-99-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-bromo- (9CI) (CA INDEX NAME)



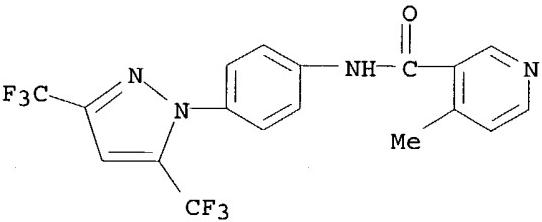
RN 292610-08-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 292610-93-6 CAPLUS

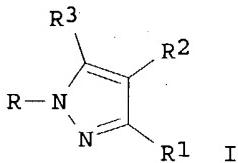
CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)



L10 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1999:784082 CAPLUS
 DOCUMENT NUMBER: 132:22963
 TITLE: Preparation of N-(pyrazolylphenyl)alkanamides and
 analogs as IL-2 production inhibitors
 INVENTOR(S): Betageri, Rajashekhar; Cywin, Charles L.; Hargrave,
 Karl; Hoermann, Mary Ann; Kirrane, Thomas M.; Parks,
 Thomas M.; Patel, Usha R.; Proudfoot, John R.; Sharma,
 Rajiv; Sun, Sanxing; Wang, Xiao-Jun
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 130 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 9962885 | A1 | 19991209 | WO 1999-US12295 | 19990603 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,
KP, KR, KZ, LC, LK, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO,
NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA,
UG, UZ, VN, YU, ZW | | | | |
| CA 2332957 | AA | 19991209 | CA 1999-2332957 | 19990603 |
| AU 9942299 | A1 | 19991220 | AU 1999-42299 | 19990603 |
| JP 2002516909 | T2 | 20020611 | JP 2000-552097 | 19990603 |
| US 6506747 | B1 | 20030114 | US 1999-324933 | 19990603 |
| PRIORITY APPLN. INFO.: | | | US 1998-88154P | P 19980605 |
| | | | WO 1999-US12295 | W 19990603 |

OTHER SOURCE(S): MARPAT 132:22963
 GI



AB Title compds. [I; R = R4Z1Z; R1, R3 = halo, CF3, alkyl, alkoxy, etc.; R2 = H, halo, Me; R4 = (cyclo)alkyl, alkoxy, alkylamino, etc.; Z = 1,4-phenylene; Z1 = CONH, CO2NH, NH, etc.] were prepared. Thus, I [R = 4-(R5HN)C6H4, R1 = R3 = CF3, R2 = H] (II; R5 = H) was amidated by cyclohexanecarboxylic acid to give II (R5 = cyclohexylcarbonyl). Data for biol. activity of I were given.

IT 251655-88-6P 251656-27-6P 251656-65-2P

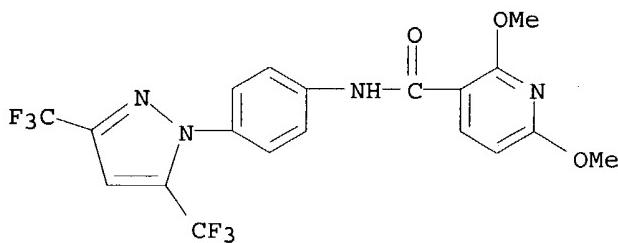
251657-74-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 1-(4-aminophenyl)pyrazoles and their use as anti-inflammatory agents)

RN 251655-88-6 CAPLUS

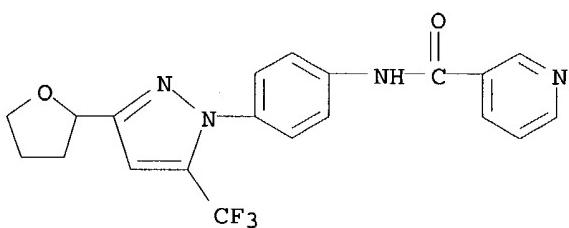
CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-

yl]phenyl]-2,6-dimethoxy- (9CI) (CA INDEX NAME)



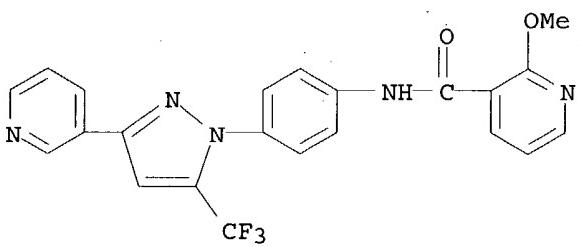
RN 251656-27-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-(tetrahydro-2-furanyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



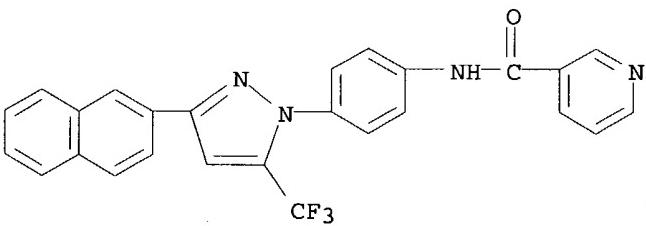
RN 251656-65-2 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 251657-74-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-(2-naphthalenyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

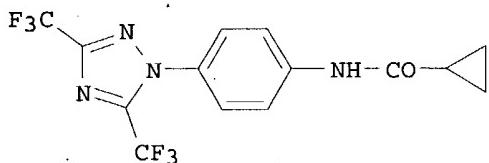
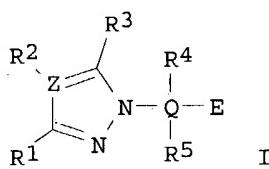


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1999:659365 CAPLUS
 DOCUMENT NUMBER: 131:271873
 TITLE: Preparation of pyrazoles and triazoles as inhibitors of cytokine production
 INVENTOR(S): Ba Maung, Nwe Y.; Basha, Anwer; Djuric, Stevan W.; Gubbins, Earl J.; Luly, Jay R.; Tu, Noah P.; Madar, David J.; Warrior, Usha; Wiedeman, Paul E.; Zhou, Xun; Wagenaar, Frank L.; Sciotti, Richard J.
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: PCT Int. Appl., 319 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 9951580 | A1 | 19991014 | WO 1999-US7766 | 19990408 |
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DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2327185 | AA | 19991014 | CA 1999-2327185 | 19990408 |
| AU 9933879 | A1 | 19991025 | AU 1999-33879 | 19990408 |
| EP 1068187 | A1 | 20010117 | EP 1999-915341 | 19990408 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
JP 2002510679 | T2 | 20020409 | JP 2000-542301 | 19990408 |
| PRIORITY APPLN. INFO.: | | | US 1998-56996 | A 19980408 |
| | | | WO 1999-US7766 | W 19990408 |

OTHER SOURCE(S): MARPAT 131:271873
 GI



AB Title compds. [I; R1 = H, NH₂, OCONH₂, CN, NO₂, OH, CO₂H, F, Cl, Br, I, aryl, perfluoroalkyl, heterocyclyloxy, heterocyclylsulfonyl; R2 = H, alkyl, cycloalkyl, alkylcarbonyl, heterocyclyl; R3 = H, NH₂, OCONH₂, CN, NO₂, OH, CO₂H, F, Cl, Br, I, aryl, perfluoroalkyl, heterocyclyloxy, heterocyclylsulfonyl; R4 and R5 are independently selected from H, alkyl, alkoxy, halo, perfluoroalkyl, CN, heterocycle; E = LB; B = alkyl, alkenyl, alkynyl; L = N:N, N:CH, CH:N, ON:CH, O, CO, NH, NHCO, NHSO₂, NHCH₂, alkenylene; Q = benzene ring with 2, 3, or 4 substituted E, heterocycle; Z = C; R₂Z = N], E, Z isomers, stereoisomers, pharmaceutical acceptable salts, and prodrugs are prepared and tested as cytokine production inhibitors and are useful for treating diseases that are prevented by or ameliorated with Interleukin-2, Interleukin-4, or Interleukin-5 production inhibitors. Thus, the title compound II was prepared

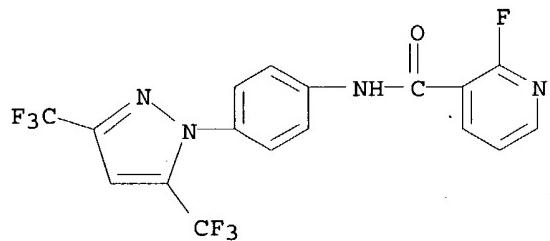
IT **245746-11-6P 245746-99-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of pyrazoles and triazoles as inhibitors of cytokine production)

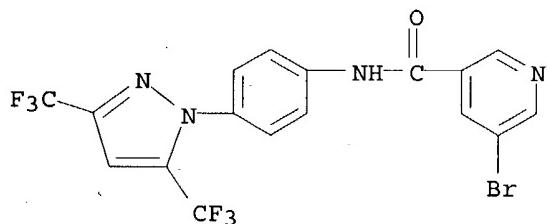
RN 245746-11-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-fluoro- (9CI) (CA INDEX NAME)



RN 245746-99-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-bromo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l11 ibib abs hitstr tot

L11 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:203827 CAPLUS

DOCUMENT NUMBER: 140:259189

TITLE: Novel crystals

INVENTOR(S): Kubota, Hirokazu; Iwaoka, Kiyoshi; Yamaguchi, Sou; Yokota, Masaki

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 16 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2004020433 | A1 | 20040311 | WO 2003-JP10769 | 20030826 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |

PRIORITY APPLN. INFO.: JP 2002-246341 A 20020827

AB Crystals of 4,6-dimethyl-4'-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]nicotinanilide having an excellent calcium release-dependent calcium channel inhibitory effect and an excellent IL-2 production inhibitory activity are obtained. It is found out that this compound occurs in two crystal polymorphisms both of which are appropriate as starting materials for producing medicinal compns.

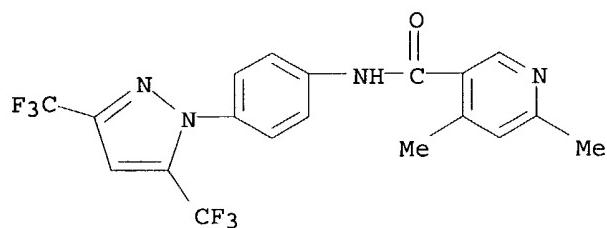
IT 669769-47-5P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of crystals of 4,6-dimethyl-4'-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]nicotinanilide)

RN 669769-47-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4,6-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:22869 CAPLUS

DOCUMENT NUMBER: 138:89806

TITLE: Preparation of arylpyrazoles as soluble epoxide hydrolase inhibitors for treatment of cardiovascular disease.

INVENTOR(S): Ingraham, Richard H.; Proudfoot, John R.

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

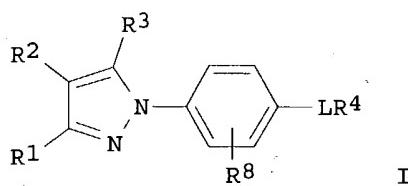
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 2003002555 | A1 | 20030109 | WO 2002-US18752 | 20020614 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2003022929 | A1 | 20030130 | US 2002-172457 | 20020614 |
| EP 1406892 | A1 | 20040414 | EP 2002-739870 | 20020614 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 2004092567 | A1 | 20040513 | US 2003-670668 | 20030925 |
| PRIORITY APPLN. INFO.: | | | US 2001-302066P | P 20010629 |
| | | | US 2002-172457 | A1 20020614 |
| | | | WO 2002-US18752 | W 20020614 |

OTHER SOURCE(S): MARPAT 138:89806

GI



AB A method of treating cardiovascular disease comprises administration of title compds. [I; R₁, R₃ = CF₃, halo, cyano, alkyl, alkenyl, alkynyl, (substituted) cycloalkyl, heterocyclyl, etc.; R₂ = H, halo, Me; L = NHCO, NHCS, NH, NHCH₂, NHCOCO, etc.; R₄ = (substituted) alkyl, alkoxy, alkylthio, alkylamino, alkoxyalkyl, alkylthioalkyl, carbocyclyl, heterocyclyl, etc.; R₈ = H, NH₂] (no data). Thus, 2-chloronicotinic acid in MeCN was treated with EDC and then with 1-(4-aminophenyl)-3-(3-pyridyl)-5-trifluoromethylpyrazole under ice cooling followed by stirring for 1 h to give I (R₁ = 3-pyridyl; R₂, R₈ = H; R₃ = CF₃; L = NHCO; R₄ = 2-chloropyridin-3-yl).

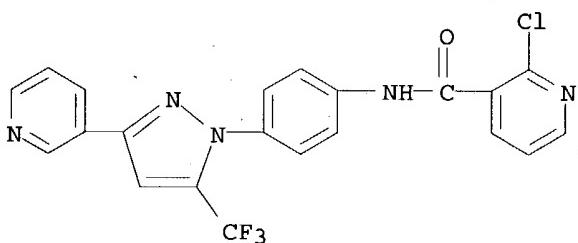
IT 251656-41-4P 251656-54-9P 251656-61-8P
251656-70-9P 251656-71-0P 483342-21-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylpyrazoles as soluble epoxide hydrolase inhibitors for treatment of cardiovascular disease)

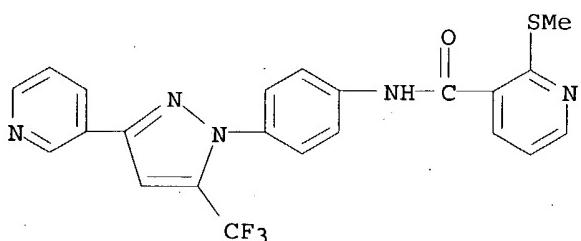
RN 251656-41-4 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



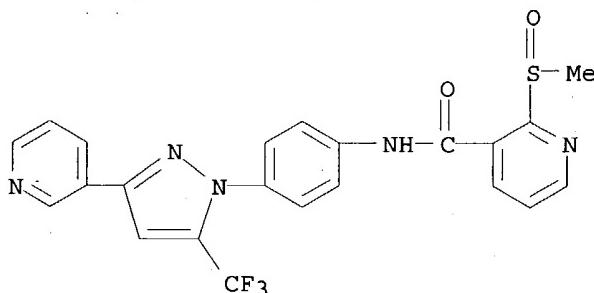
RN 251656-54-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-(methylthio)-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



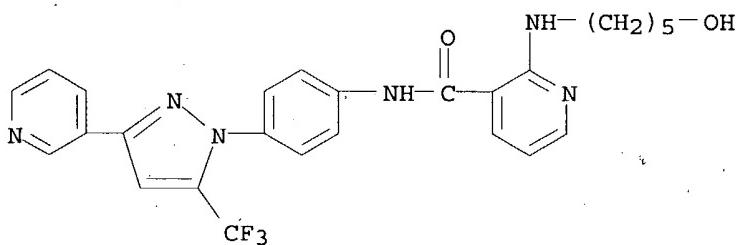
RN 251656-61-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-(methylsulfinyl)-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



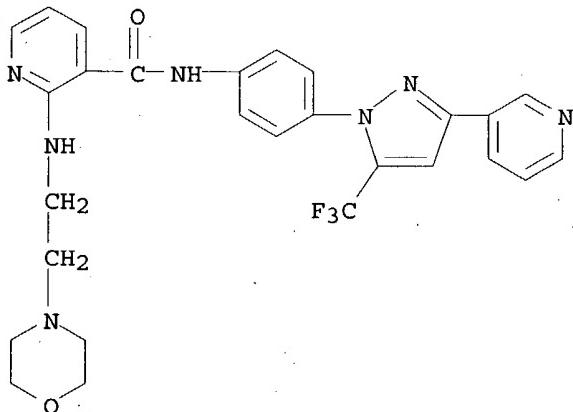
RN 251656-70-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(5-hydroxypentyl)amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



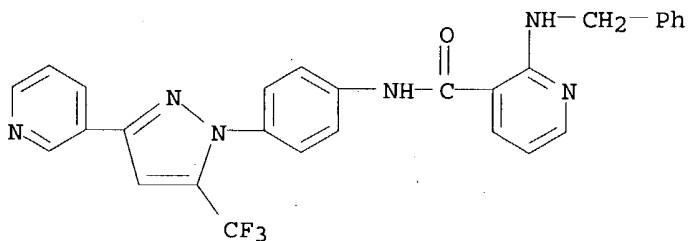
RN 251656-71-0 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(2-(4-morpholinyl)ethyl)amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 483342-21-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(phenylmethyl)amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

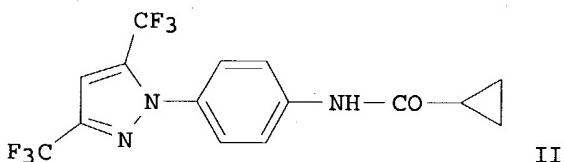
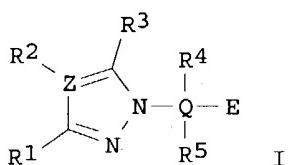


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2001:851793 CAPLUS
 DOCUMENT NUMBER: 136:5986
 TITLE: Preparation of azole inhibitors of cytokine production
 Bamaung, Nwe Y.; Basha, Anwer; Djuric, Stevan W.;
 Gubbins, Earl J.; Luly, Jay R.; Tu, Noah P.; Madar,
 David J.; Warrior, Usha; Wiedeman, Paul E.; Zhou, Xun;
 Sciotti, Richard J.; Wagenaar, Frank L.
 INVENTOR(S):
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 124 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| US 2001044445 | A1 | 20011122 | US 1999-289155 | 19990408 |
| PRIORITY APPLN. INFO.: | | | US 1999-289155 | 19990408 |
| OTHER SOURCE(S): | | MARPAT | 136:5986 | |

GI



AB The title compds. [I; R1, R3 = H, aryl, perfluoroalkyl, etc.; Z = N, C; R2 is absent or = H, alkyl, cycloalkyl, etc.; Q = (hetero)aryl (when Q = Ph,

the Ph is 2-, 3-, or 4-substituted by E relative to the position of attachment of the pyrazole or 1,2,4-triazole ring to the Ph ring); R4, R5 = H, alkyl, haloalkyl, etc.; E = NO₂, NH₂, etc.], useful for inhibiting cytokine (Interleukin-2, Interleukin-4, or Interleukin-5) production and cellular proliferation in stimulated human T cell lines or human peripheral blood mononuclear cells (biol. data given) and therefore have utility in the treatment of diseases that are prevented by or ameliorated with cytokine inhibitors, were prepared General procedures for preparation of compds. I were described. Thus, the title compound II was prepared

IT 223499-45-4P, N-[4-[3,5-Bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-pyridinecarboxamide 245745-96-4P

245745-97-5P 245745-98-6P 245746-11-6P

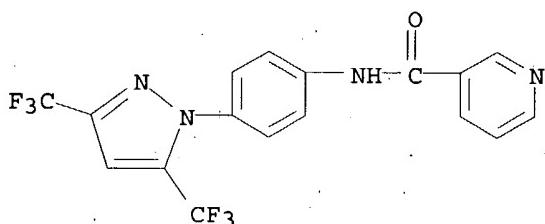
245746-93-4P 245746-99-0P 245747-12-0P

245747-14-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azole inhibitors of cytokine production)

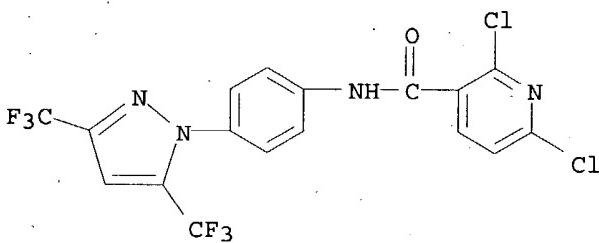
RN 223499-45-4 CAPPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



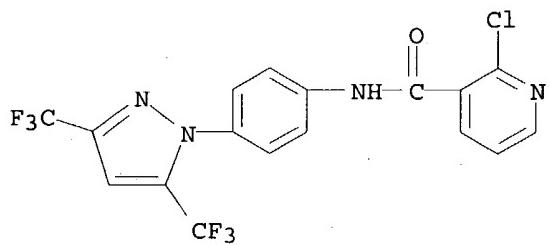
RN 245745-96-4 CAPPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,6-dichloro- (9CI) (CA INDEX NAME)



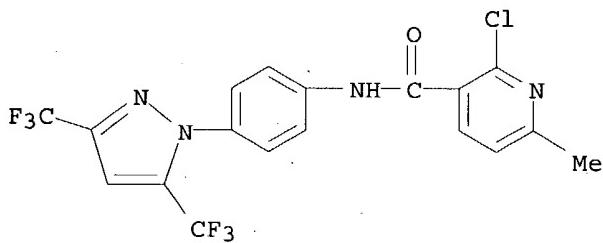
RN 245745-97-5 CAPPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-chloro- (9CI) (CA INDEX NAME)



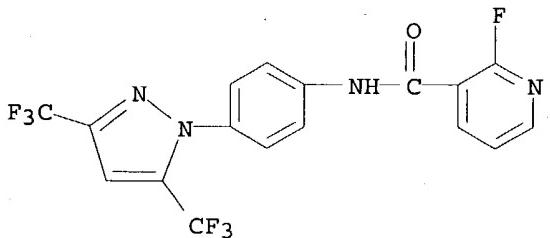
RN 245745-98-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-chloro-6-methyl- (9CI) (CA INDEX NAME)



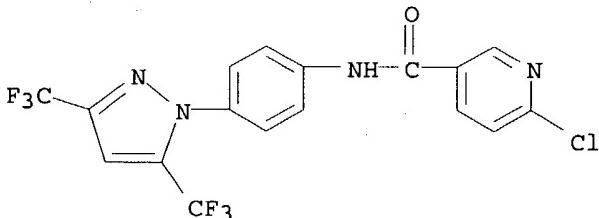
RN 245746-11-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-fluoro- (9CI) (CA INDEX NAME)



RN 245746-93-4 CAPLUS

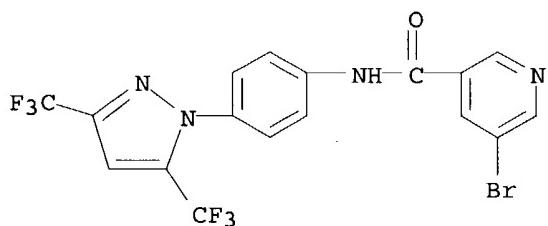
CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-chloro- (9CI) (CA INDEX NAME)



RN 245746-99-0 CAPLUS

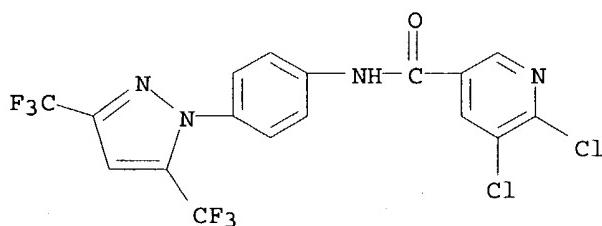
10670668

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-bromo- (9CI) (CA INDEX NAME)



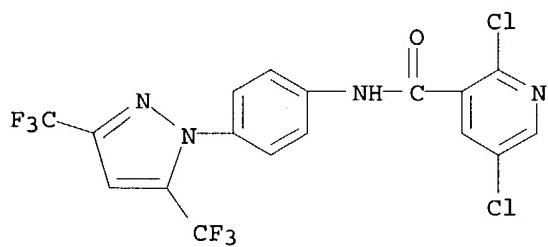
RN 245747-12-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5,6-dichloro- (9CI) (CA INDEX NAME)



RN 245747-14-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,5-dichloro- (9CI) (CA INDEX NAME)



L11 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:658115 CAPLUS

DOCUMENT NUMBER: 133:238010

TITLE: Preparation of pyrazole derivatives as blockers of calcium release-activated calcium channel (CRACC)

INVENTOR(S): Kubota, Koichi; Yoshimura, Noriko; Okamoto, Yoshinori; Yonetoku, Yasuhiro; Naito, Makoto; Ishikawa, Atsushi; Takeuchi, Makoto

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

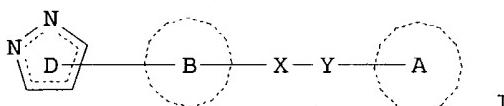
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|-------------------|-----------------|----------|
| JP 2000256358 | A2 | 20000919 | JP 1999-62900 | 19990310 |
| PRIORITY APPLN. INFO.: | | | JP 1999-62900 | 19990310 |
| OTHER SOURCE(S): | | MARPAT 133:238010 | | |
| GI | | | | |



AB The title compds. (I; ring D = pyrazolyl optionally substituted with 1-3 substituents selected from lower alkyl, alkenyl, alkynyl, or haloalkyl, lower alkylene-cycloalkyl, lower alkylene-O-lower alkyl, cycloalkyl, O-lower alkyl, CO₂H, lower alkoxy carbonyl, and halo; ring B = phenylene or optionally lower-substituted bivalent monocyclic aromatic heterocyclic ring; X = NR₁CO, CONR₁, NR₁SO₂, SO₂NR₁; wherein R₁ = H, OH, lower alkyl, O-lower alkyl, lower alkyl-carbonyl; Y = bond, CO, lower alkylene, or lower alkenylene; ring A = Ph having at least one substituent selected from HO, O-lower alkyl, and F, or optionally substituent mono-, bi-, or tricyclic condensed heteroaryl; provided that when Y is a bond, ring A represents a group other than heteroaryl selected from thiienyl, pyrrolyl, imidazolyl, thiazolyl, oxazolyl, thiadiazolyl, pyridyl, pyrazinyl, and isoquinolyl) and pharmaceutically acceptable salts thereof are prepared. These compds. exhibit the inhibitory activity against CRACC and the production of interleukin-2 and are useful for the prevention or treatment of allergies, inflammations, and autoimmune diseases. Thus, 2,1,3-benzoxadiazole-5-carbonyl chloride and Et₃N were successively added to a mixture of 4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline and CH₂Cl₂ and stirred at room temperature for 8.5 h to give N-[(2,1,3-benzoxadiazol-5-yl)carbonyl]-4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline. Preferred compds. I inhibited thapsigargin-stimulated increase in calcium concentration with IC₅₀ of

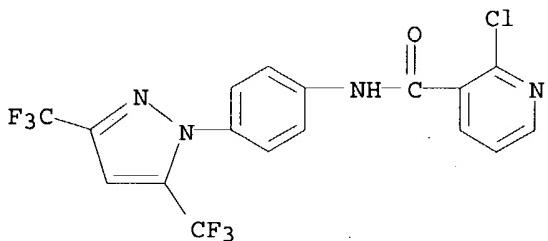
≤1 μM and the production of interleukin-2 with IC₅₀ of ≤0.1 μM in Jurkat cell.

IT 245745-97-5P 245745-98-6P 245746-93-4P
 245746-99-0P 292610-08-3P 292610-12-9P
 292610-14-1P 292610-18-5P 292610-32-3P
 292610-48-1P 292610-52-7P 292610-56-1P
 292610-63-0P 292610-64-1P 292610-65-2P
 292610-66-3P 292610-67-4P 292610-68-5P
 292610-69-6P 292610-74-3P 292610-93-6P
 292610-94-7P 292610-95-8P 292610-96-9P
 292610-97-0P 292610-98-1P 292611-02-0P
 292611-03-1P 292611-04-2P 292611-05-3P
 292611-06-4P 292611-09-7P 292611-10-0P
 292611-11-1P 292611-12-2P 292611-13-3P
 292611-14-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyrazole derivs. as blockers of calcium release-activated calcium channel and inhibitors of interleukin-2 production)

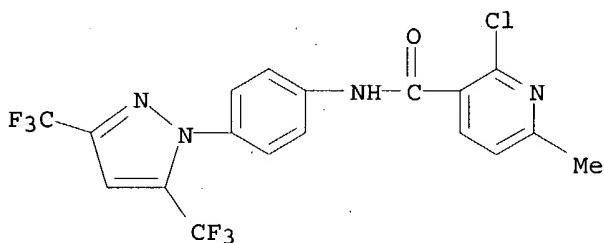
RN 245745-97-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-chloro- (9CI) (CA INDEX NAME)



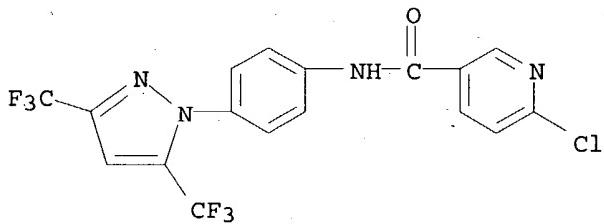
RN 245745-98-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-chloro-6-methyl- (9CI) (CA INDEX NAME)



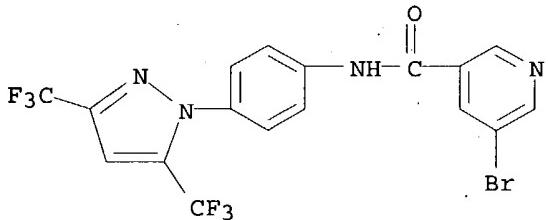
RN 245746-93-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-chloro- (9CI) (CA INDEX NAME)



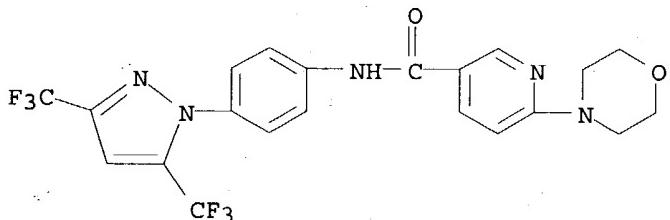
RN 245746-99-0 CAPLUS

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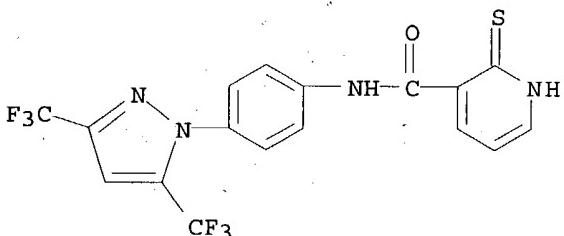
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CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)



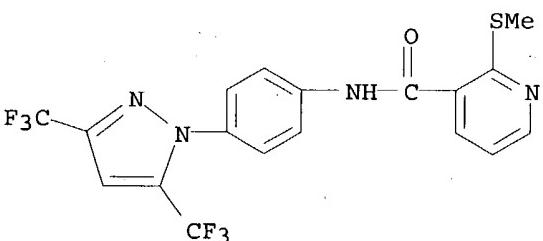
RN 292610-12-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-1,2-dihydro-2-thioxo- (9CI) (CA INDEX NAME)



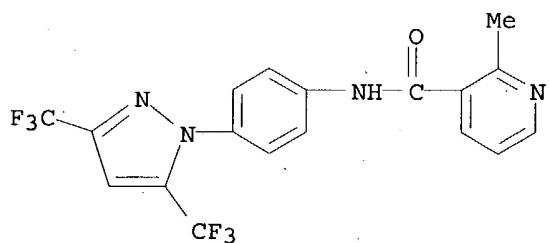
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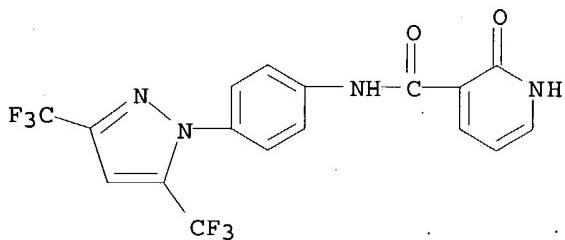
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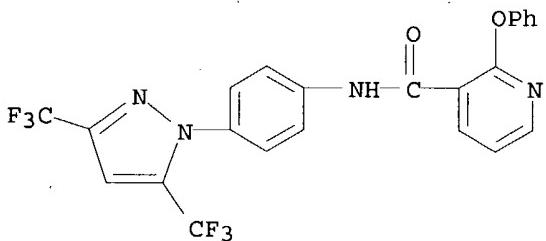
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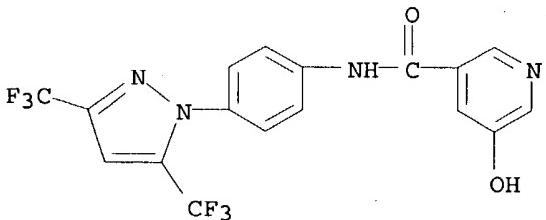
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RN 292610-52-7 CAPLUS

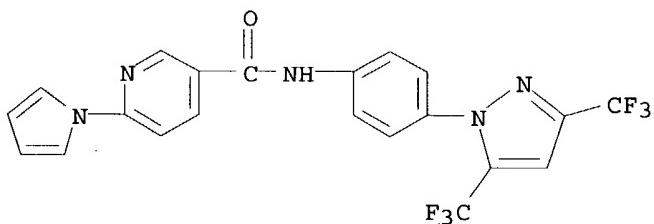
CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-hydroxy- (9CI) (CA INDEX NAME)



RN 292610-56-1 CAPLUS

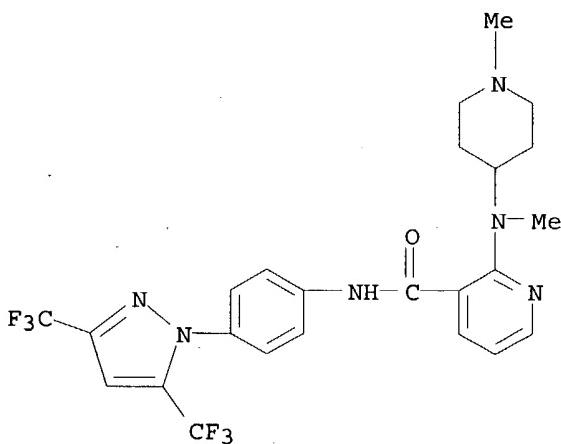
10670668

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-(1H-pyrrol-1-yl) - (9CI) (CA INDEX NAME)



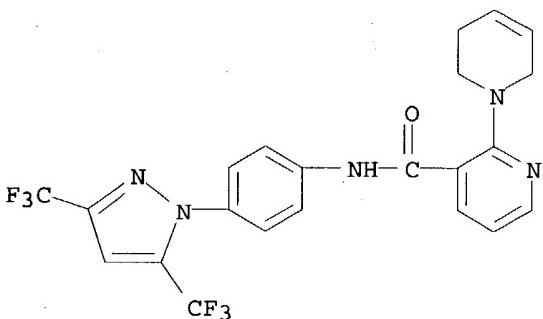
RN 292610-63-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-[methyl(1-methyl-4-piperidinyl)amino] - (9CI) (CA INDEX NAME)



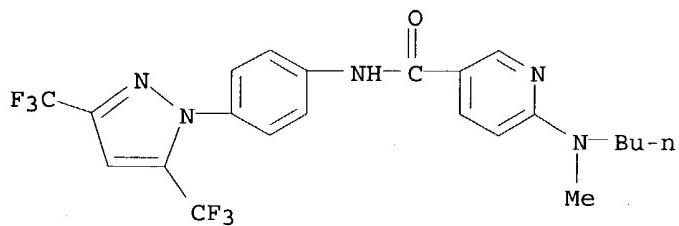
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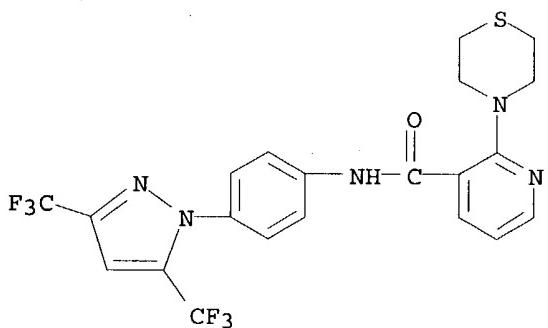
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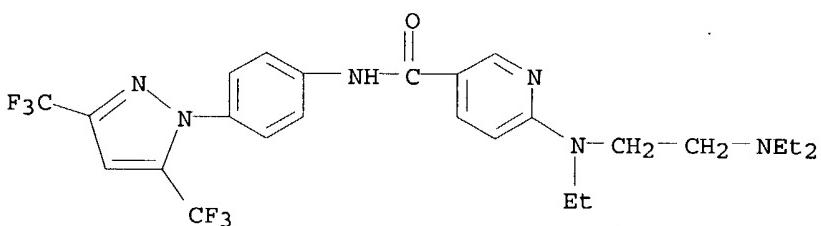
RN 292610-66-3 CAPLUS

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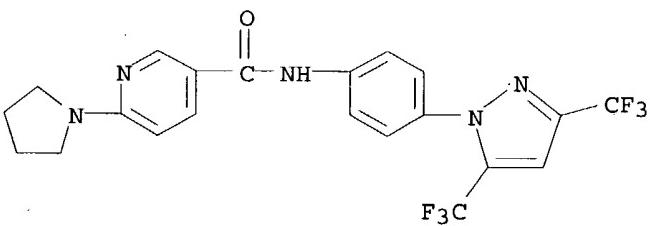
RN 292610-67-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-[[2-(diethylamino)ethyl]ethylamino]- (9CI) (CA INDEX NAME)



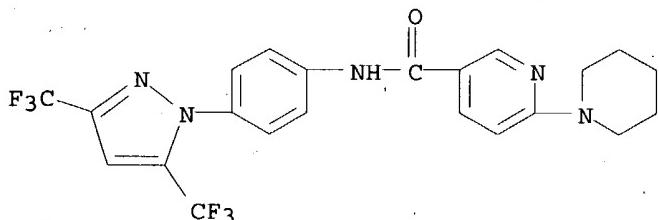
RN 292610-68-5 CAPLUS

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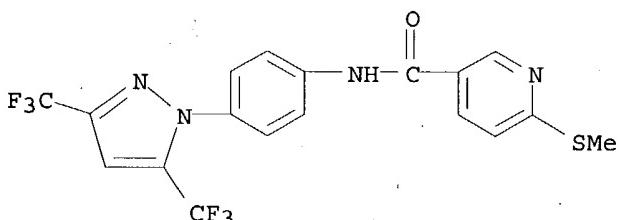
RN 292610-69-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-(1-piperidinyl)- (9CI) (CA INDEX NAME)



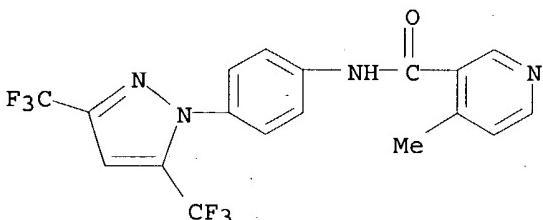
RN 292610-74-3 CAPLUS

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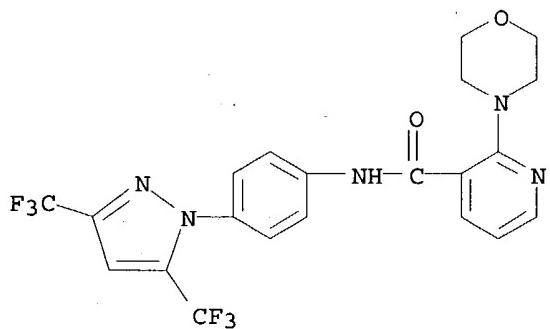
RN 292610-93-6 CAPLUS

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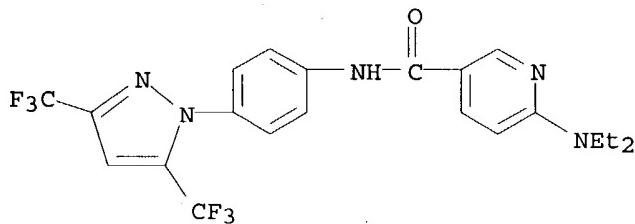
RN 292610-94-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



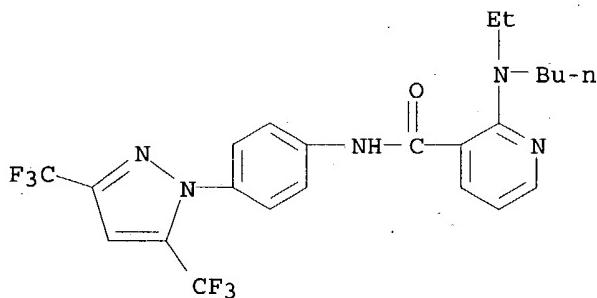
RN 292610-95-8 CAPLUS

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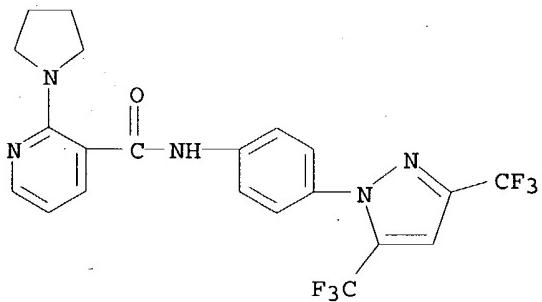
RN 292610-96-9 CAPLUS

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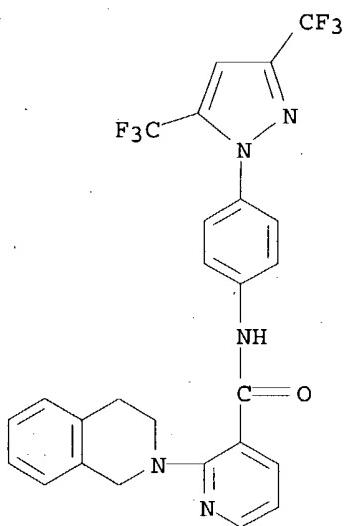
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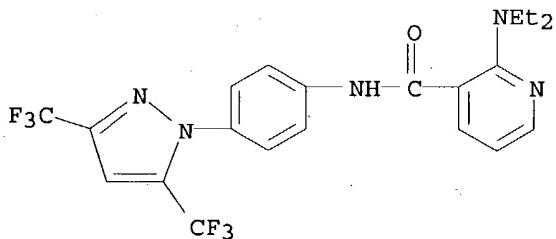
RN 292610-98-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-(3,4-dihydro-2(1H)-isoquinolinyl)-(9CI) (CA INDEX NAME)



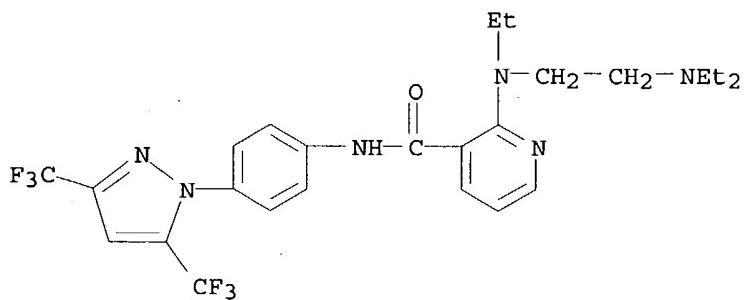
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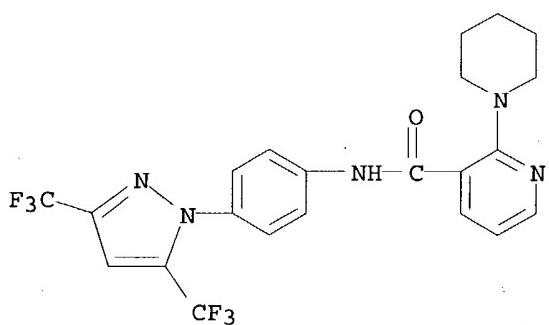
RN 292611-03-1 CAPLUS

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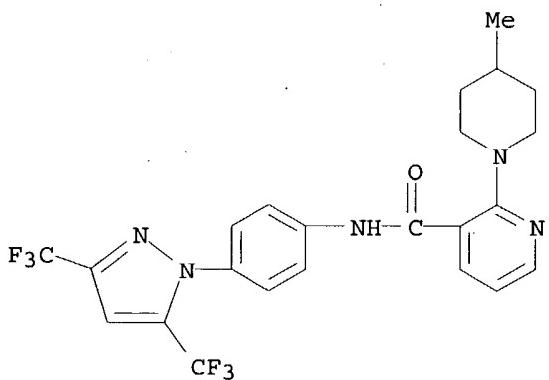
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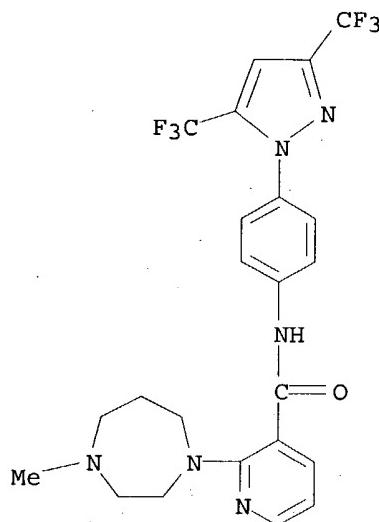
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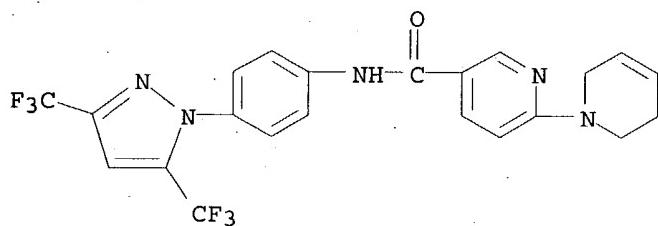
RN 292611-06-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



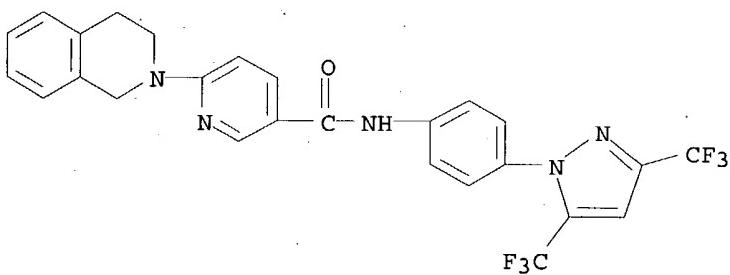
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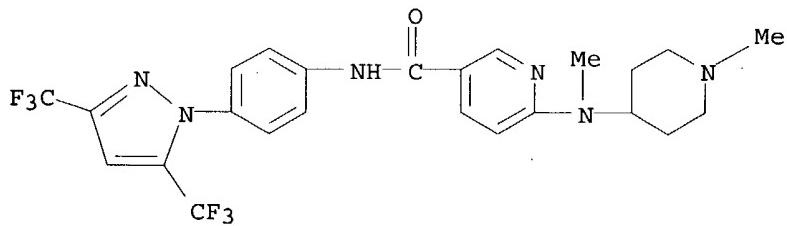
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CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-(3,4-dihydro-2(1H)-isoquinolinyl)- (9CI) (CA INDEX NAME)

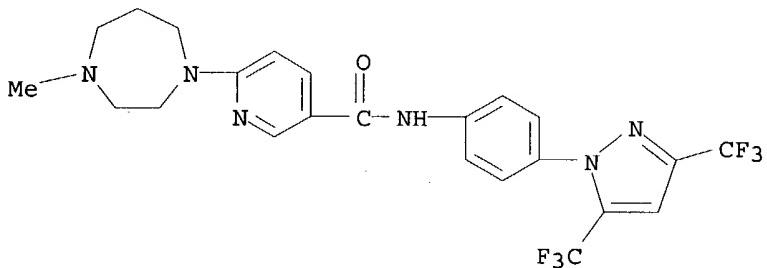


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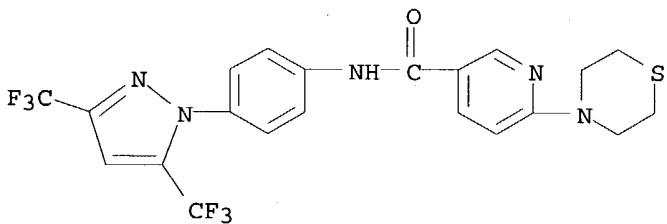
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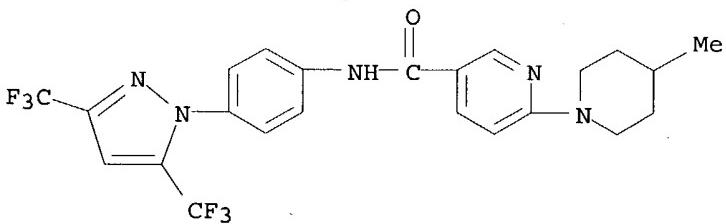
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RN 292611-13-3 CAPLUS
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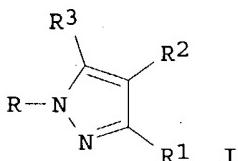
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 CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-(4-methyl-1-piperidinyl)- (9CI) (CA INDEX NAME)



L11 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1999:784082 CAPLUS
 DOCUMENT NUMBER: 132:22963
 TITLE: Preparation of N-(pyrazolylphenyl)alkanamides and
 analogs as IL-2 production inhibitors
 INVENTOR(S): Betageri, Rajashekhar; Cywin, Charles L.; Hargrave,
 Karl; Hoermann, Mary Ann; Kirrane, Thomas M.; Parks,
 Thomas M.; Patel, Usha R.; Proudfoot, John R.; Sharma,
 Rajiv; Sun, Sanxing; Wang, Xiao-Jun
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 130 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 9962885 | A1 | 19991209 | WO 1999-US12295 | 19990603 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,
KP, KR, KZ, LC, LK, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO,
NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA,
UG, UZ, VN, YU, ZW | | | | |
| CA 2332957 | AA | 19991209 | CA 1999-2332957 | 19990603 |
| AU 9942299 | A1 | 19991220 | AU 1999-42299 | 19990603 |
| JP 2002516909 | T2 | 20020611 | JP 2000-552097 | 19990603 |
| US 6506747 | B1 | 20030114 | US 1999-324933 | 19990603 |
| PRIORITY APPLN. INFO.: | | | US 1998-88154P | P 19980605 |
| | | | WO 1999-US12295 | W 19990603 |

OTHER SOURCE(S): MARPAT 132:22963
 GI



AB Title compds. [I; R = R4Z1Z; R1,R3 = halo, CF₃, alkyl, alkoxy, etc.; R2 = H, halo, Me; R4 = (cyclo)alkyl, alkoxy, alkylamino, etc.; Z = 1,4-phenylene; Z1 = CONH, CO₂NH, NH, etc.] were prepared. Thus, I [R = 4-(R₅H)C₆H₄, R₁ = R₃ = CF₃, R₂ = H] (II; R₅ = H) was amidated by cyclohexanecarboxylic acid to give II (R₅ = cyclohexylcarbonyl). Data for biol. activity of I were given.

IT 223499-45-4P 245745-97-5P 245746-93-4P
 251655-88-6P 251655-92-2P 251655-95-5P
 251656-20-9P 251656-25-4P 251656-27-6P
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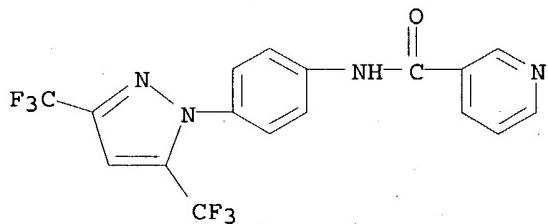
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251657-68-8P 251657-74-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 1-(4-aminophenyl)pyrazoles and their use as anti-inflammatory agents)

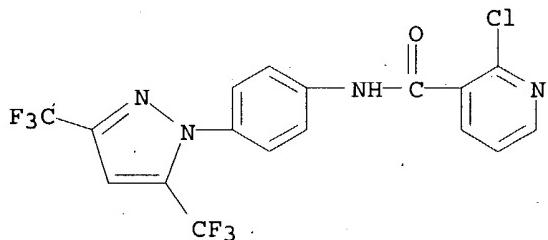
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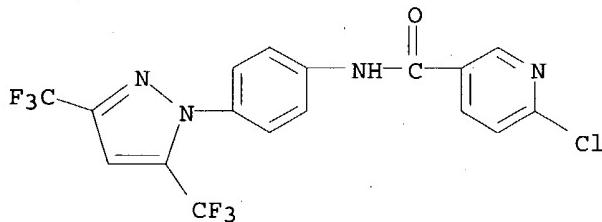
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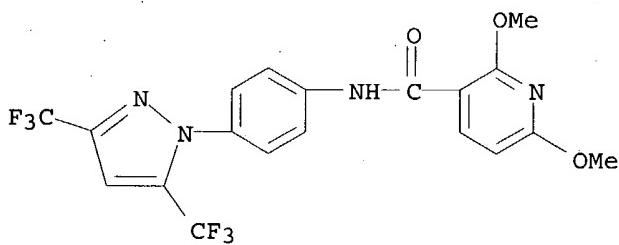
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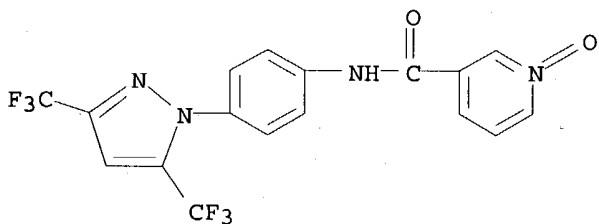
RN 251655-88-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,6-dimethoxy- (9CI) (CA INDEX NAME)



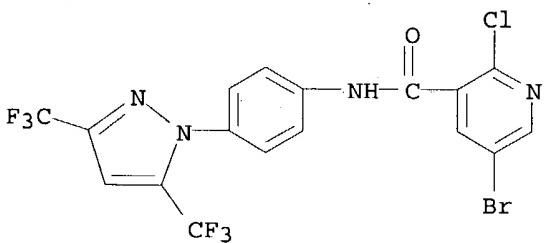
RN 251655-92-2 CAPLUS

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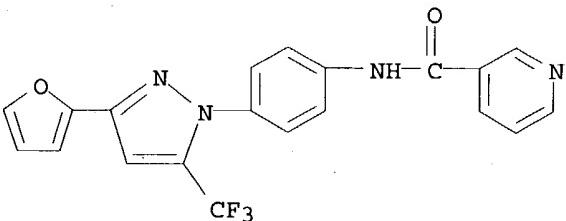
RN 251655-95-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-bromo-2-chloro- (9CI) (CA INDEX NAME)



RN 251656-20-9 CAPLUS

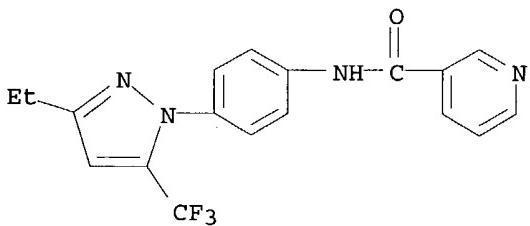
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RN 251656-25-4 CAPLUS

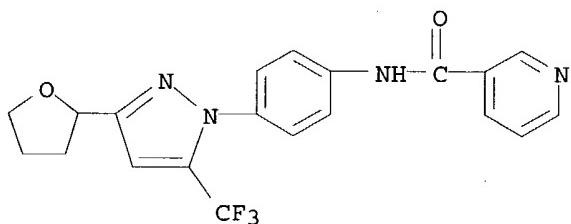
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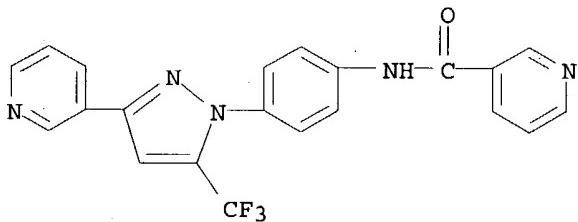
RN 251656-27-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-(tetrahydro-2-furanyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



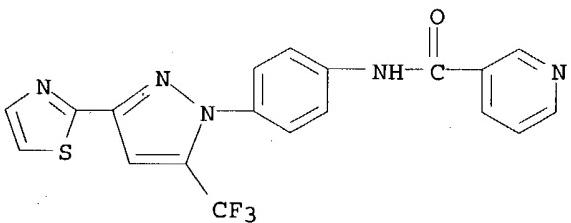
RN 251656-33-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



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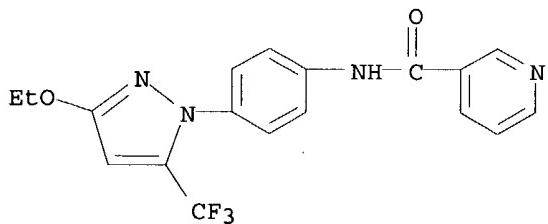
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RN 251656-38-9 CAPLUS

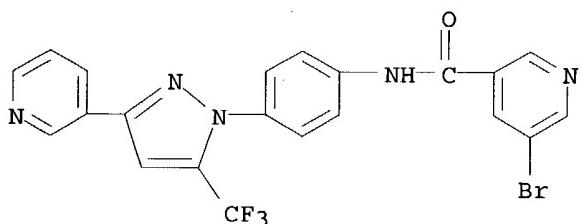
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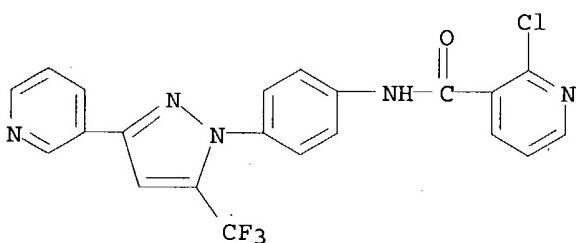
RN 251656-39-0 CAPLUS

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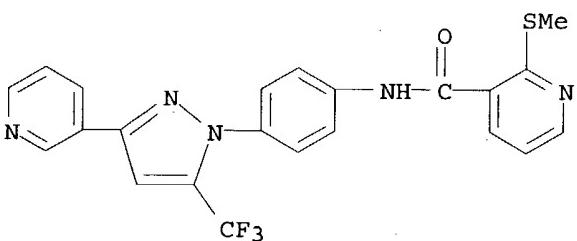
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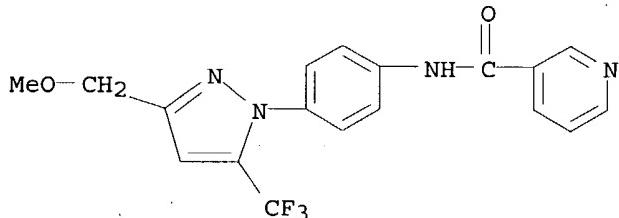
RN 251656-54-9 CAPLUS

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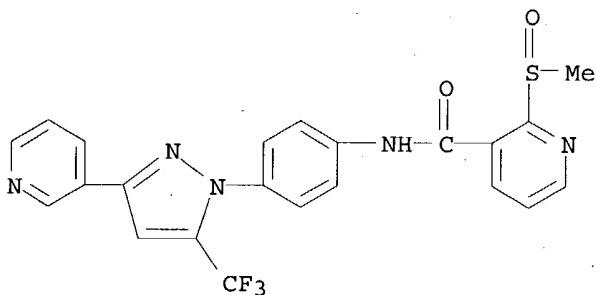
RN 251656-60-7 CAPLUS

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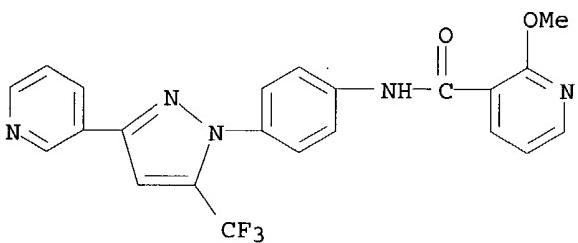
RN 251656-61-8 CAPLUS

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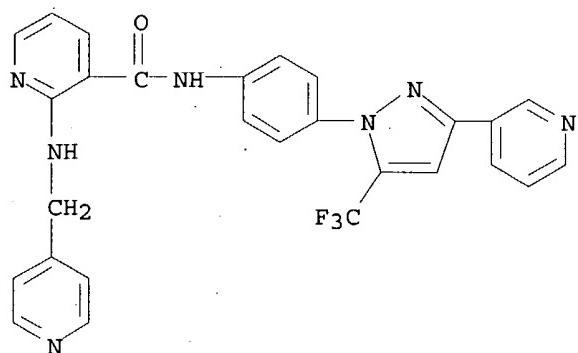
RN 251656-65-2 CAPLUS

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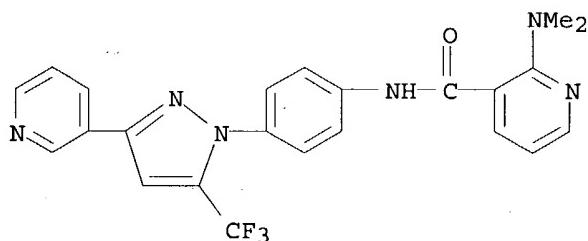
RN 251656-67-4 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(4-pyridinylmethyl)amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



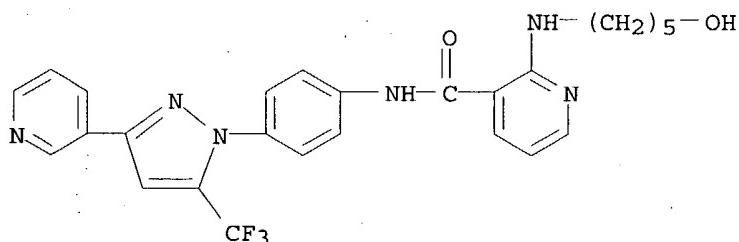
RN 251656-68-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(dimethylamino)-N-[4-[3-[(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



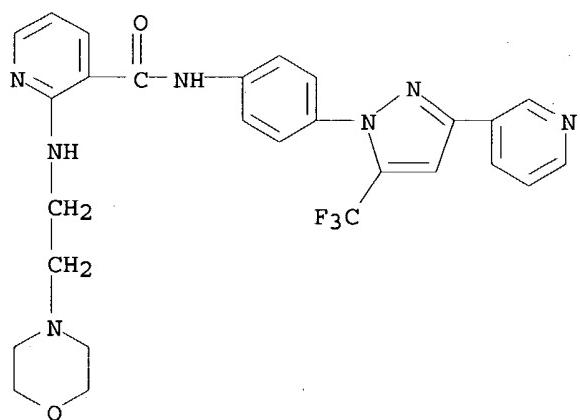
RN 251656-70-9 CAPLUS

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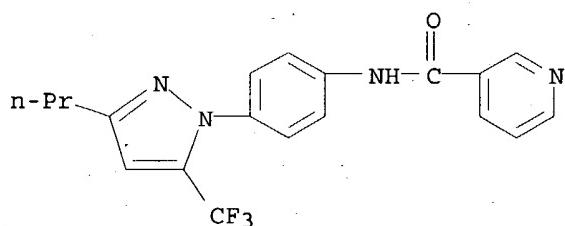
RN 251656-71-0 CAPLUS

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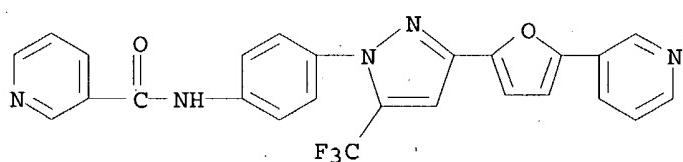
RN 251656-74-3 CAPLUS

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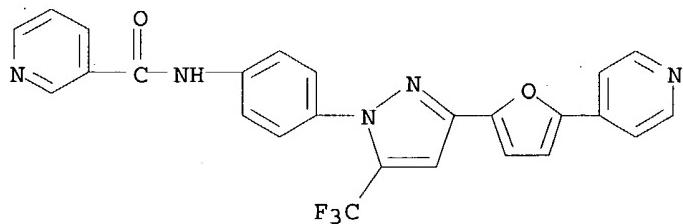
RN 251656-78-7 CAPLUS

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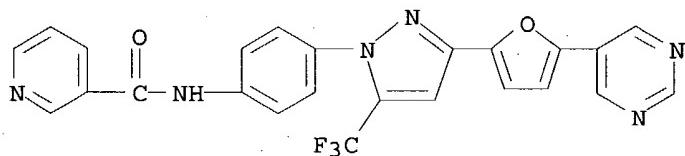
RN 251656-80-1 CAPLUS

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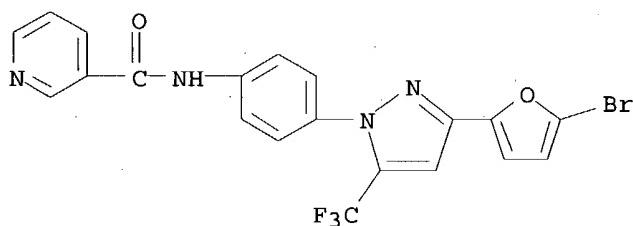
RN 251656-81-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-[5-(5-pyrimidinyl)-2-furanyl]-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



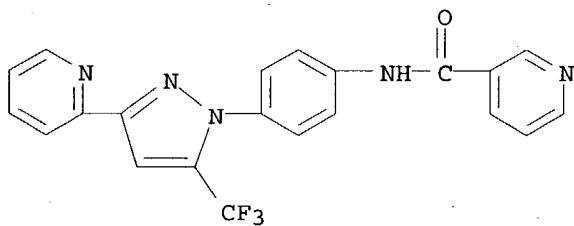
RN 251656-82-3 CAPLUS

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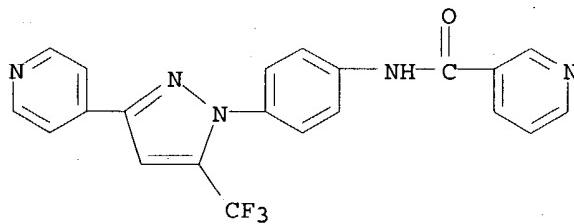
RN 251656-84-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-(2-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 251656-89-0 CAPLUS

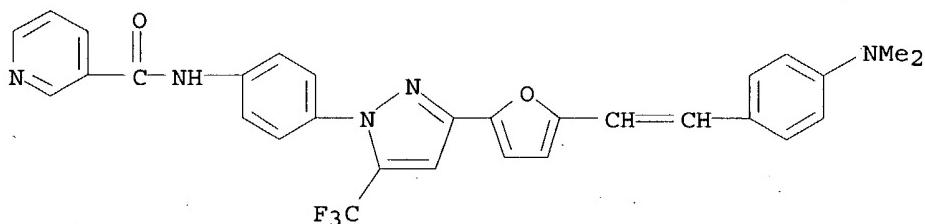
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RN 251657-19-9 CAPLUS

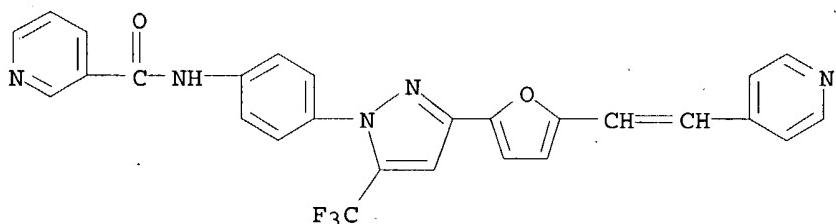
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CN 3-Pyridinecarboxamide, N-[4-[3-[5-[2-[4-(dimethylamino)phenyl]ethenyl]-2-furanyl]-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



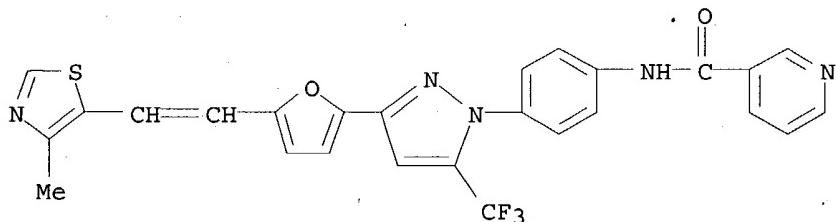
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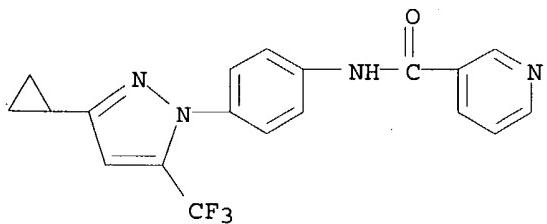
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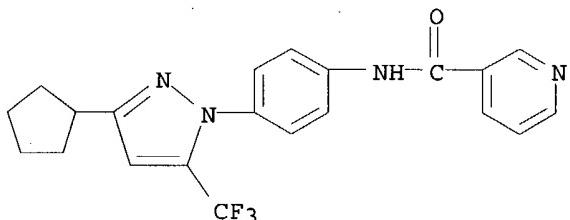
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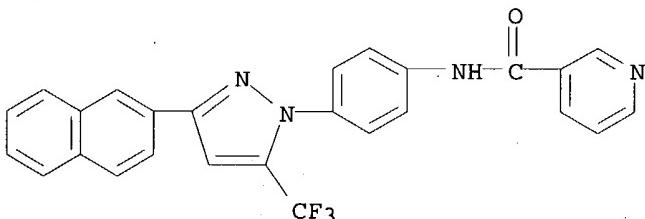
RN 251657-68-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-cyclopentyl-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 251657-74-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-(2-naphthalenyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:659365 CAPLUS

DOCUMENT NUMBER: 131:271873

TITLE: Preparation of pyrazoles and triazoles as inhibitors of cytokine production

INVENTOR(S): Ba Maung, Nwe Y.; Basha, Anwer; Djuric, Stevan W.; Gubbins, Earl J.; Luly, Jay R.; Tu, Noah P.; Madar, David J.; Warrior, Usha; Wiedeman, Paul E.; Zhou, Xun; Wagenaar, Frank L.; Sciotti, Richard J.

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 319 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM.. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|--|----------|-----------------|----------|
| WO 9951580 | A1 | 19991014 | WO 1999-US7766 | 19990408 |
| W: | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2327185 AA 19991014 CA 1999-2327185 19990408

AU 9933879 A1 19991025 AU 1999-33879 19990408

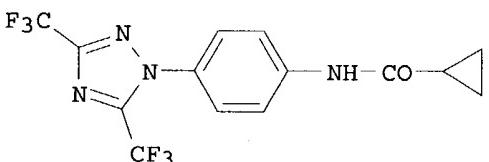
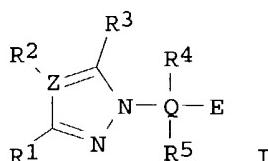
EP 1068187 A1 20010117 EP 1999-915341 19990408

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
 JP 2002510679 T2 20020409 JP 2000-542301 19990408

PRIORITY APPLN. INFO.: US 1998-56996 A 19980408
 WO 1999-US7766 W 19990408

OTHER SOURCE(S): MARPAT 131:271873

GI



AB Title compds. [I; R1 = H, NH₂, OCONH₂, CN, NO₂, OH, CO₂H, F, Cl, Br, I, aryl, perfluoroalkyl, heterocyclyoxy, heterocyclylsulfonyl; R2 = H, alkyl, cycloalkyl, alkylcarbonyl, heterocyclyl; R3 = H, NH₂, OCONH₂, CN, NO₂, OH, CO₂H, F, Cl, Br, I, aryl, perfluoroalkyl, heterocyclyoxy, heterocyclylsulfonyl; R4 and R5 are independently selected from H, alkyl, alkoxy, halo, perfluoroalkyl, CN, heterocycle; E = LB; B = alkyl, alkenyl, alkynyl; L = N:N, N:CH, CH:N, ON:CH, O, CO, NH, NHCO, NHSO₂, NHCH₂, alkenylene; Q = benzene ring with 2, 3, or 4 substituted E, heterocycle; Z = C; R₂Z = N], E, Z isomers, stereoisomers, pharmaceutical acceptable salts, and prodrugs are prepared and tested as cytokine production inhibitors and are useful for treating diseases that are prevented by or ameliorated with Interleukin-2, Interleukin-4, or Interleukin-5 production inhibitors. Thus, the title compound II was prepared

IT 223499-45-4P 245745-96-4P 245745-97-5P

245745-98-6P 245746-11-6P 245746-93-4P

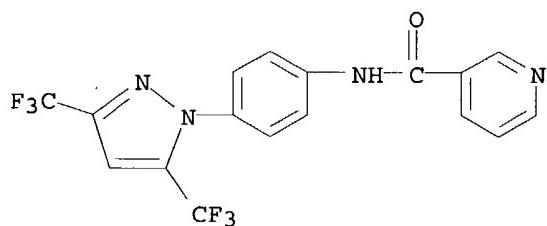
245746-99-0P 245747-12-0P 245747-14-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of pyrazoles and triazoles as inhibitors of cytokine production)

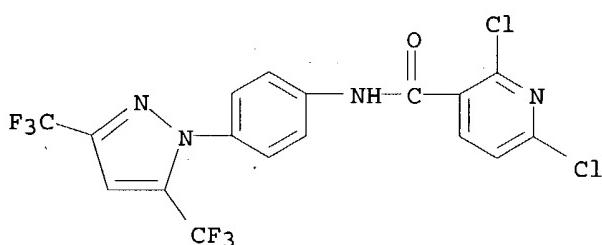
RN 223499-45-4 CAPLUS

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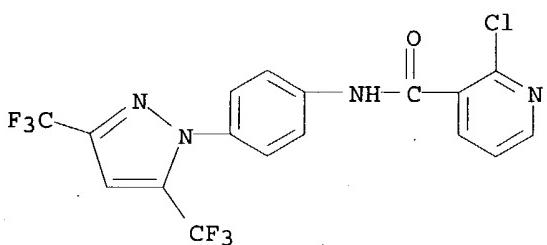
RN 245745-96-4 CAPLUS

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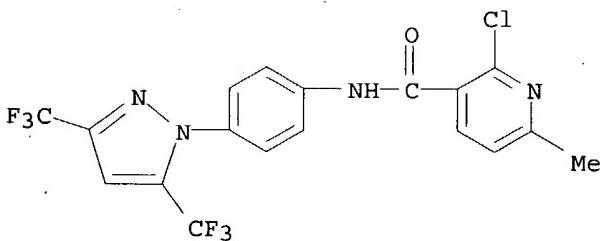
RN 245745-97-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-chloro- (9CI) (CA INDEX NAME)



RN 245745-98-6 CAPLUS

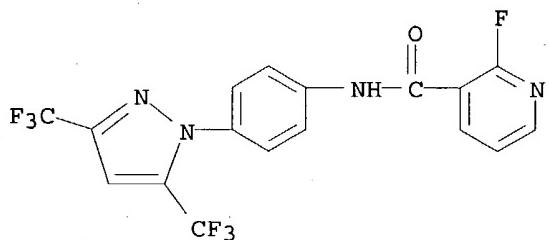
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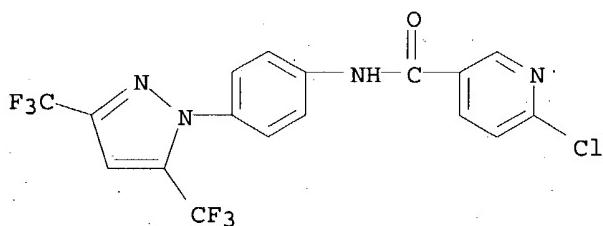
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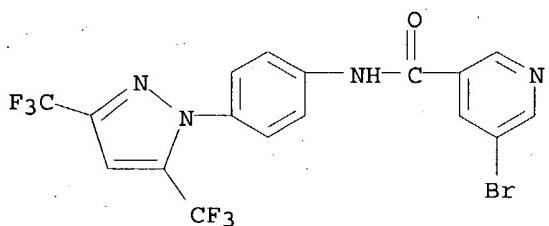
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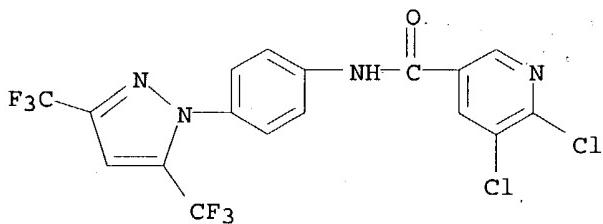
RN 245746-99-0 CAPLUS

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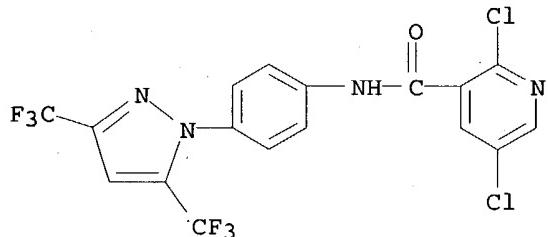


RN 245747-12-0 CAPLUS

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RN 245747-14-2 CAPLUS
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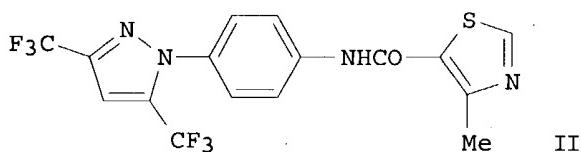
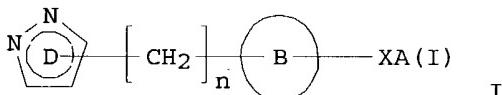
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1999:271338 CAPLUS
 DOCUMENT NUMBER: 130:311815
 TITLE: Preparation of pyrazole derivatives as calcium release-dependent calcium channel inhibitors and inhibitors of interleukin-2 (IL-2) production
 INVENTOR(S): Kubota, Hirokazu; Yonetoku, Yasuhiro; Sugawara, Keizou; Funatsu, Masashi; Kawazoe, Souichirou; Toyoshima, Akira; Okamoto, Yoshinori; Ishikawa, Jun; Takeuchi, Makoto
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 54 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|----------|
| WO 9919303 | A1 | 19990422 | WO 1998-JP4583 | 19981012 |
| W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 9887139 | A1 | 19990429 | AU 1998-87139 | 19980929 |
| AU 751139 | B2 | 20020808 | | |
| BR 9803883 | A | 20000516 | BR 1998-3883 | 19981006 |
| RU 2185381 | C2 | 20020720 | RU 1998-118557 | 19981009 |
| CA 2304979 | AA | 19990422 | CA 1998-2304979 | 19981012 |
| AU 9894593 | A1 | 19990503 | AU 1998-94593 | 19981012 |
| EP 1024138 | A1 | 20000802 | EP 1998-947818 | 19981012 |
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| MX 9808433 | A | 20000930 | MX 1998-8433 | 19981012 |
| TW 495498 | B | 20020721 | TW 1998-87116918 | 19981012 |
| CN 1218046 | A | 19990602 | CN 1998-121354 | 19981013 |
| CN 1107671 | B | 20030507 | | |

| | | | | |
|------------------------|----|----------------|----------------|----------|
| JP 11240832 | A2 | 19990907 | JP 1998-290734 | 19981013 |
| US 6348480 | B1 | 20020219 | US 2000-529131 | 20000407 |
| NO 2000001907 | A | 20000609 | NO 2000-1907 | 20000412 |
| US 2001011090 | A1 | 20010802 | US 2001-773736 | 20010202 |
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| | | JP 1997-279093 | A 19971013 | |
| | | WO 1998-JP4583 | W 19981012 | |
| | | US 2000-529131 | A3 20000407 | |

OTHER SOURCE(S) : MARPAT 130:311815
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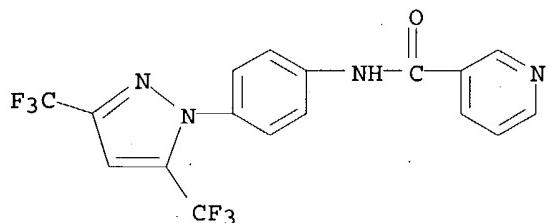


- | | |
|----|---|
| AB | <p>Pyrazole derivs. represented by general formula [I; ring D = pyrazolyl optionally substituted by 1-3 substituents selected from alkyl, lower alkenyl, lower alkynyl, lower haloalkyl, cycloalkylalkyl, alkoxyalkyl, cycloalkyl, alkoxy, CO₂H, alkoxy carbonyl, and halo; ring B = phenylene, a nitrogen-containing, divalent, saturated ring group, or an optionally alkylated,</p> |
| | <p>monocyclic, divalent heteroarom. ring group; X = -NR₁-CR₂R₃-, -CR₂R₃-NR₁-, -NR₁-SO₂- , -SO₂-NR₁- or -CR₄:CR₅-; wherein R₁ = H, OH, alkyl, alkoxy, alkylcarbonyl; R₂, R₃ = H or alkyl or R₂R₃ = O or S; R₄, R₅ = H, halo, lower haloalkyl; A = (1) Ph optionally having one or more substituents, (2) mono-, di- or tricyclic fused heteroaryl optionally having one or more substituents, (3) cycloalkyl optionally having one or more substituents, (4) a nitrogen-containing, saturated ring group optionally having one or more substituents, (5) lower alkenyl optionally having one or more substituents, (6) lower alkynyl optionally having one or more substituents, or (7) alkyl optionally having one or more substituents; or A and X are combined together to represent 1-pyrrolidinylcarbonyl, pyrazolidinylcarbonyl, piperidinocarbonyl, piperazinylcarbonyl, morpholinocarbonyl, 3,4-2H-1,4-benzoxazin-4-ylcarbonyl, or indolylcarbonyl] are prepared. Also claimed are medicinal compns., in particular, calcium release-dependent calcium channel inhibitors, IL-2 production inhibitors, and therapeutics or preventives for allergies, inflammations, or autoimmune diseases, bronchial asthma, or rheumatoid arthritis for containing the above compds. I as the active ingredients. Thus, 4-methylthiazole-5-carboxylic acid was condensed with 4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in 1,2-dichloroethane at room temperature overnight to give the title compound, 4'-pyrazolylthiazole-5-carboxanilide derivative (II). II in vitro showed IC₅₀ of ≤1 μM μg/mL for inhibiting the production of IL-2 in Jurkat cells.</p> |
| IT | <p>223499-45-4P</p> |
| | <p>RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)</p> |
| | <p>(preparation of pyrazole derivs. as calcium release-dependent calcium</p> |

channel inhibitors and inhibitors of interleukin-2 production for treatment
and prevention of diseases)

RN 223499-45-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-
yl]phenyl]- (9CI) (CA INDEX NAME)



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L3 11 S L1 SSS FULL

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